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Welcome to STN International! Enter x:x

LOGINID:SSPTAJHM1624

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	MAY 01	New CAS web site launched
NEWS	3	MAY 08	CA/CAPLUS Indian patent publication number format defined
NEWS	4	MAY 14	RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS	5	MAY 21	BIOSIS reloaded and enhanced with archival data
NEWS	6	MAY 21	TOXCENTER enhanced with BIOSIS reload
NEWS	7	MAY 21	CA/CAPLUS enhanced with additional kind codes for German patents
NEWS	8	MAY 22	CA/CAPLUS enhanced with IPC reclassification in Japanese patents
NEWS	9	JUN 27	CA/CAPLUS enhanced with pre-1967 CAS Registry Numbers
NEWS	10	JUN 29	STN Viewer now available
NEWS	11	JUN 29	STN Express, Version 8.2, now available
NEWS	12	JUL 02	LEMBASE coverage updated
NEWS	13	JUL 02	LMEDLINE coverage updated
NEWS	14	JUL 02	SCISEARCH enhanced with complete author names
NEWS	15	JUL 02	CHEMCATS accession numbers revised
NEWS	16	JUL 02	CA/CAPLUS enhanced with utility model patents from China
NEWS	17	JUL 16	CAPLUS enhanced with French and German abstracts
NEWS	18	JUL 18	CA/CAPLUS patent coverage enhanced
NEWS	19	JUL 26	USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS	20	JUL 30	USGENE now available on STN
NEWS	21	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS	22	AUG 06	BEILSTEIN updated with new compounds
NEWS	23	AUG 06	FSTA enhanced with new thesaurus edition
NEWS	24	AUG 13	CA/CAPLUS enhanced with additional kind codes for granted patents
NEWS	25	AUG 20	CA/CAPLUS enhanced with CAS indexing in pre-1907 records
NEWS	26	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS	27	AUG 27	USPATOLD now available on STN
NEWS	28	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS EXPRESS		05 SEPTEMBER 2007:	CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 05 SEPTEMBER 2007.
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS LOGIN			Welcome Banner and News Items
NEWS IPC8			For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 17:31:57 ON 06 SEP 2007

=> file registry

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 17:32:07 ON 06 SEP 2007

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STRUCTURE FILE UPDATES: 5 SEP 2007 HIGHEST RN 946114-43-8

DICTIONARY FILE UPDATES: 5 SEP 2007 HIGHEST RN 946114-43-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

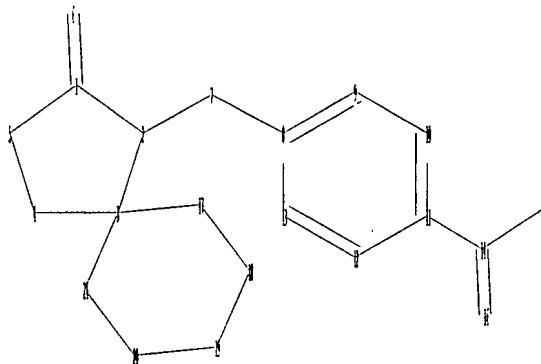
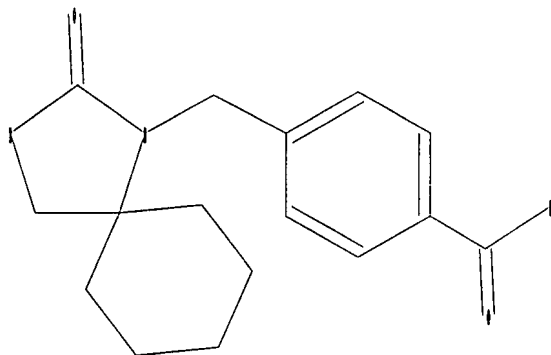
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10 series\10537187\10537187a.str



chain nodes :

6 7 14 15 16

ring nodes :

1 2 3 4 5 8 9 10 11 12 13 17 18 19 20 21

chain bonds :

1-6 2-7 7-8 11-14 14-15 14-16

ring bonds :

1-2 1-5 2-3 3-4 3-17 3-21 4-5 8-9 8-13 9-10 10-11 11-12 12-13 17-18  
18-19 19-20 20-21

exact/norm bonds :

1-2 1-5 1-6 2-3 2-7 3-4 3-17 3-21 4-5 14-15 14-16 17-18 18-19 19-20  
20-21

exact bonds :

7-8 11-14

normalized bonds :

8-9 8-13 9-10 10-11 11-12 12-13

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom 19:Atom  
20:Atom 21:Atom

L1 STRUCTURE UPLOADED

=> s l1\

L2 9853 L1\  
( 'L1' )

=> s l1

SAMPLE SEARCH INITIATED 17:32:24 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 50 TO ITERATE

100.0% PROCESSED 50 ITERATIONS

5 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 576 TO 1424

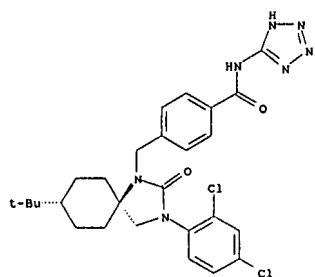
PROJECTED ANSWERS: 5 TO 234

L3 5 SEA SSS SAM L1

=> d scan

L3 5 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN  
IN Benzamide, 4-[[trans-3-(2,4-dichlorophenyl)-8-(1,1-dimethylethyl)-2-oxo-  
1,3-diazaspiro[4.5]dec-1-yl]methyl]-N-1H-tetrazol-5-yl- (9CI)  
MF C27 H31 Cl2 N7 O2

Relative stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

```
=> s l1 full
FULL SEARCH INITIATED 17:32:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      1003 TO ITERATE
```

```
100.0% PROCESSED      1003 ITERATIONS
SEARCH TIME: 00.00.01
```

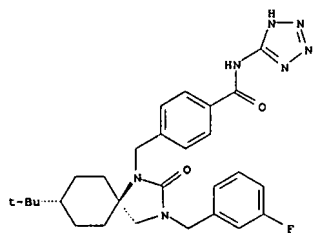
109 ANSWERS

```
L4      109 SEA SSS FUL L1
```

```
=> d scan
```

L4 109 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN  
IN Benzamide, 4-[[trans-8-(1,1-dimethylethyl)-3-[(3-fluorophenyl)methyl]-2-  
oxo-1,3-diazaspiro[4.5]dec-1-yl)methyl]-N-1H-tetrazol-5-yl- (9CI)  
MF C28 H34 F N7 O2

Relative stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file caplus  
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
177.05	177.26

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 17:32:57 ON 06 SEP 2007  
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FILE COVERS 1907 - 6 Sep 2007 VOL 147 ISS 11  
FILE LAST UPDATED: 5 Sep 2007 (20070905/ED)

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<http://www.cas.org/infopolicy.html>

=> s l4

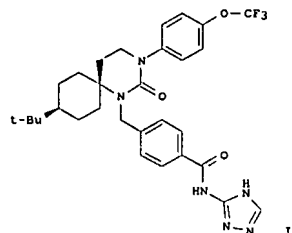
L5                    2 L4

=> d l5 1-2 ibib abs

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:980867 CAPLUS  
DOCUMENT NUMBER: 143:359435  
TITLE: Discovery of novel, potent, and orally active spiro-urea human glucagon receptor antagonists  
AUTHOR(S): Shen, Dong-Ming; Zhang, Fengqi; Brady, Edward J.; Candelore, Mari Rios; Dallas-Yang, Qing; Ding, Victor D.-H.; Dragovic, Jasminka; Feeney, William P.; Jiang, Guoqi; McCann, Peggy E.; Mock, Steve; Qureshi, Sajjad A.; Saperstein, Richard; Shen, Xiaolan; Tamvakopoulos, Constantin; Tong, Xinchun; Tota, M.; Wright, Michael J.; Yang, Xiaodong; Zheng, Song; Chapman, Kevin T.; Zhang, Bei B.; Tata, James R.; Parmee, Emma R.  
CORPORATE SOURCE: Department of Basic Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA  
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(20), 4564-4569  
CODEN: BMCLEB; ISSN: 0960-894X  
PUBLISHER: Elsevier B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 143:359435  
GI

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



AB A novel class of spiro-ureas has been discovered as potent human glucagon receptor antagonists in both binding and functional assays. Preliminary studies have revealed that compound (I) is an orally active human glucagon receptor antagonist in a transgenic murine pharmacodynamic model at 10 and 30 mpk. Compound I is orally bioavailable in several preclin. species and shows selectivity toward cardiac ion channels and other family B receptors, such as hGIP1 and hGLP.  
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:490706 CAPLUS  
DOCUMENT NUMBER: 141:54338  
TITLE: Preparation of spirocyclic ureas as glucagon receptor antagonists for the treatment of type 2 diabetes mellitus  
INVENTOR(S): Parmee, Emma R.; Zhang, Fengqi; Shen, Dong-Ming; Stelmach, John  
PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
SOURCE: PCT Int. Appl., 99 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050039	A2	20040617	WO 2003-US38590	20031126
WO 2004050039	A3	20040729		
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CP, CU, CZ, DE, DK, DM, DS, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,				
TG				
CA 2508581	A1	20040617	CA 2003-2508581	20031126
AU 2003298889	A1	20040623	AU 2003-298889	20031126
EP 1569915	A2	20050907	EP 2003-796648	20031126
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 200609013	T	20060316	JP 2004-557589	20031126
US 2006116366	A1	20060601	US 2005-537187	20050602
PRIORITY APPLN. INFO.: US 2002-430799P P 20021204				
WO 2003-US38590 W 20031126				

OTHER SOURCE(S): MARPAT 141:54338  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I [X = CH2 and C(O); R1 = (substituted)alkyl, (substituted)(hetero)aryl; R2 = H, or alkyl; R3 = H, or F; R4 = H, F, or OH; or R3, R4 = oxo; R5 = H, CO2R6, alkyl optionally substituted with OH, O-alkyl, CO2R6, halo; R6 = H, (substituted)alkyl; Y = (substituted)4-8 membered spirocarbocyclic ring or a spiroheterocyclic ring containing up to 3 heteroatoms, selected from O, S, N; p, q = 0 or 1 with proviso that the sum of p and q is 0 or 1] were prepared as glucagon receptor antagonists for the treatment of type 2 diabetes mellitus. For example, compound II was prepared in a multi-step synthesis starting from 4-tert-butylcyclohexanone.



=> file registry  
COST IN U.S. DOLLARS

SINCE FILE ENTRY	TOTAL SESSION
7.07	184.33

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY	TOTAL SESSION
-1.56	-1.56

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STRUCTURE FILE UPDATES: 5 SEP 2007 HIGHEST RN 946114-43-8  
DICTIONARY FILE UPDATES: 5 SEP 2007 HIGHEST RN 946114-43-8

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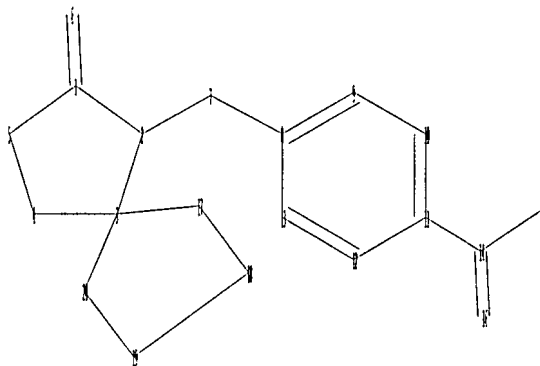
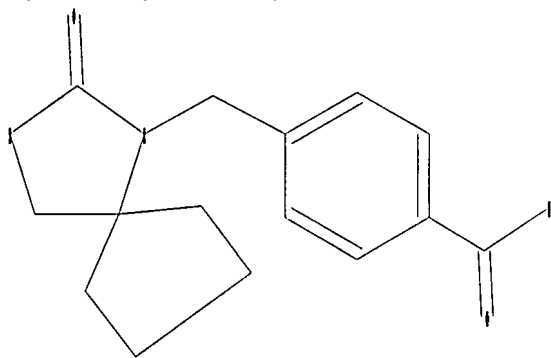
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10 series\10537187\10537187b.str



chain nodes :

6 7 14 15 16

ring nodes :

1 2 3 4 5 8 9 10 11 12 13 17 18 19 20

chain bonds :

1-6 2-7 7-8 11-14 14-15 14-16

ring bonds :

1-2 1-5 2-3 3-4 3-17 3-20 4-5 8-9 8-13 9-10 10-11 11-12 12-13 17-18  
18-19 19-20

exact/norm bonds :  
 1-2 1-5 1-6 2-3 2-7 3-4 3-17 3-20 4-5 14-15 14-16 17-18 18-19 19-20  
 exact bonds :  
 7-8 11-14  
 normalized bonds :  
 8-9 8-13 9-10 10-11 11-12 12-13

Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:Atom 10:Atom  
 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom 19:Atom  
 20:Atom

L6 STRUCTURE UPLOADED

=> s 16  
 SAMPLE SEARCH INITIATED 17:34:42 FILE 'REGISTRY'  
 SAMPLE SCREEN SEARCH COMPLETED - 50 TO ITERATE

100.0% PROCESSED 50 ITERATIONS 0 ANSWERS  
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*  
 PROJECTED ITERATIONS: 576 TO 1424  
 PROJECTED ANSWERS: 0 TO 0

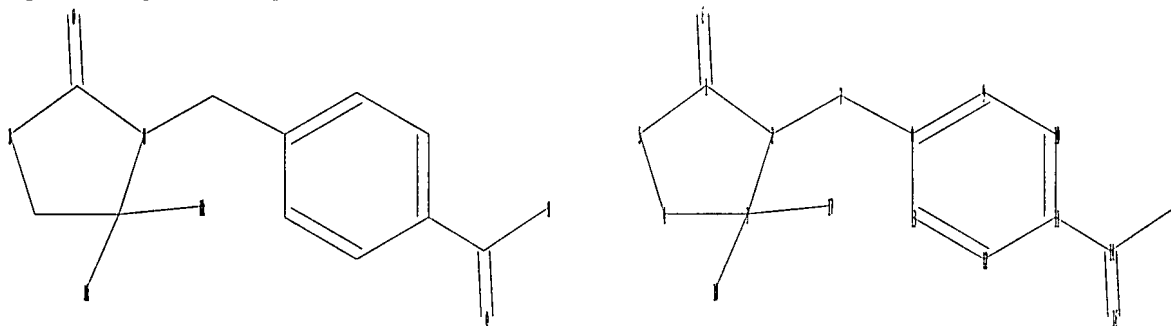
L7 0 SEA SSS SAM L6

=> s 16 full  
 FULL SEARCH INITIATED 17:34:46 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 1003 TO ITERATE

100.0% PROCESSED 1003 ITERATIONS 0 ANSWERS  
 SEARCH TIME: 00.00.01

L8 0 SEA SSS FUL L6

=>  
 Uploading C:\Program Files\Stnexp\Queries\10 series\10537187\10537187c.str



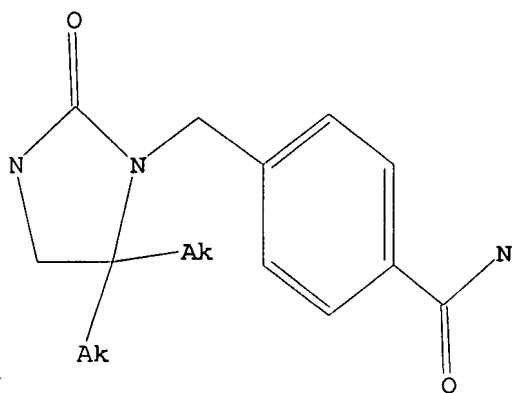
chain nodes :  
 6 7 14 15 16 17 18  
 ring nodes :  
 1 2 3 4 5 8 9 10 11 12 13

chain bonds :  
 1-6 2-7 3-17 3-18 7-8 11-14 14-15 14-16  
 ring bonds :  
 1-2 1-5 2-3 3-4 4-5 8-9 8-13 9-10 10-11 11-12 12-13  
 exact/norm bonds :  
 1-2 1-5 1-6 2-3 2-7 3-4 3-17 3-18 4-5 14-15 14-16  
 exact bonds :  
 7-8 11-14  
 normalized bonds :  
 8-9 8-13 9-10 10-11 11-12 12-13

Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:Atom 10:Atom  
 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom

L9 STRUCTURE UPLOADED

=> d 19  
 L9 HAS NO ANSWERS  
 L9 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 19  
 SAMPLE SEARCH INITIATED 17:36:16 FILE 'REGISTRY'  
 SAMPLE SCREEN SEARCH COMPLETED - 385 TO ITERATE

100.0% PROCESSED 385 ITERATIONS 0 ANSWERS  
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 6523 TO 8877  
 PROJECTED ANSWERS: 0 TO 0

L10 0 SEA SSS SAM L9

=> s 19 full

FULL SEARCH INITIATED 17:36:20 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 7936 TO ITERATE

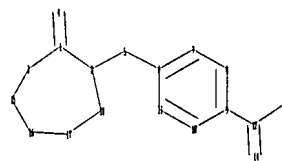
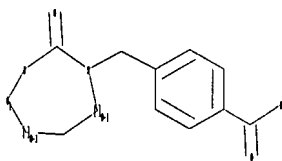
100.0% PROCESSED 7936 ITERATIONS  
SEARCH TIME: 00.00.01

0 ANSWERS

L11 0 SEA SSS FUL L9

=>

Uploading C:\Program Files\Stnexp\Queries\10 series\10537187\10537187d.str



chain nodes :

4 5 12 13 14

ring nodes :

1 2 3 6 7 8 9 10 11 15 16 17 18

chain bonds :

1-4 2-5 5-6 9-12 12-13 12-14

ring bonds :

1-2 1-3 2-18 3-15 6-7 6-11 7-8 8-9 9-10 10-11 15-16 16-17 17-18

exact/norm bonds :

1-2 1-3 1-4 2-5 2-18 3-15 5-6 9-12 12-13 12-14 15-16 16-17 17-18

normalized bonds :  
6-7 6-11 7-8 8-9 9-10 10-11

G1:CH2,C

Match level :

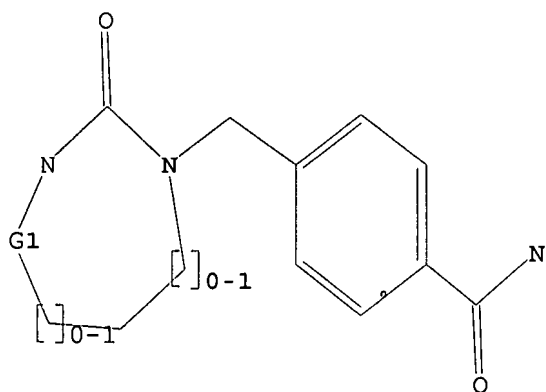
1:Atom 2:Atom 3:Atom 4:CLASS 5:CLASS 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom

L12 STRUCTURE UPLOADED

=> d l12

L12 HAS NO ANSWERS

L12 STR



G1 CH2,C

Structure attributes must be viewed using STN Express query preparation.

=> s l12

SAMPLE SEARCH INITIATED 17:40:03 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 908 TO ITERATE

100.0% PROCESSED 908 ITERATIONS

42 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 16353 TO 19967

PROJECTED ANSWERS: 452 TO 1228

L13 42 SEA SSS SAM L12

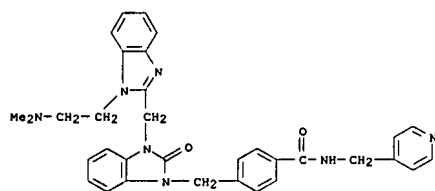
=> d scan

L13 42 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Benzamide,

4-([3-([1-[2-(dimethylamino)ethyl]-1H-benzimidazol-2-yl)methyl]-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)methyl]-N-(4-pyridinylmethyl)-(9CI)

MF C33 H33 N7 O2



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? {1}:0

=> s l12 full  
FULL SEARCH INITIATED 17:40:42 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 18212 TO ITERATE

100.0% PROCESSED 18212 ITERATIONS 857 ANSWERS  
SEARCH TIME: 00.00.01

L14 857 SEA SSS FUL L12

=> file caplus		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	519.90	704.23
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-1.56

FILE 'CAPLUS' ENTERED AT 17:40:46 ON 06 SEP 2007  
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FILE LAST UPDATED: 5 Sep 2007 (20070905/ED)

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<http://www.cas.org/infopolicy.html>

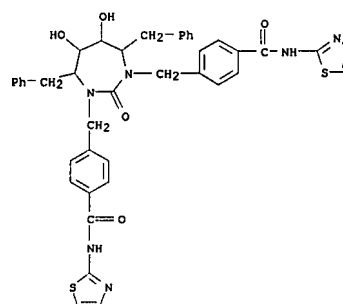
=> s l14  
L15 42 L14

=> d l15 1-10 ibib abs hitstr

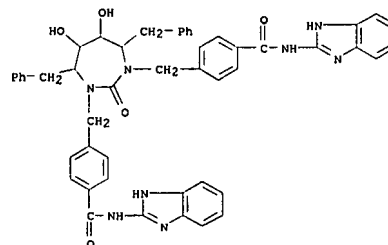
L15 ANSWER 1 OF 42 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2007:629269 CAPLUS  
DOCUMENT NUMBER: 147:226216  
TITLE: Exploring Experimental Sources of Multiple Protein Conformations in Structure-Based Drug Design  
AUTHOR(S): Damm, Kelly L.; Carlson, Heather A.  
CORPORATE SOURCE: Department of Medicinal Chemistry, University of Michigan, Ann Arbor, MI, 48109-1065, USA  
SOURCE: Journal of the American Chemical Society (2007), 129(26), 8225-8235  
CODEN: JACSAT; ISSN: 0002-7863  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB Receptor flexibility must be incorporated into structure-based drug design  
to portray a more accurate representation of a protein in solution. Our approach is to generate pharmacophore models based on multiple conformations of a protein and is very similar to solvent mapping of hot spots. Previously, we had success using computer-generated conformations of apo human immunodeficiency virus-1 protease (HIV-1p). Here, we examine the use of an NMR ensemble vs. a collection of crystal structures, and we compare back to our previous study based on computer-generated conformations. To our knowledge, this is the first direct comparison of an NMR ensemble and a collection of crystal structures to incorporate protein flexibility in structure-based drug design. To provide an accurate comparison between the exptl. sources, we used bound structures for our multiple protein structure (MPS) pharmacophore models. The models from an NMR ensemble and a collection of crystal structures were both able to discriminate known HIV-1p inhibitors from decoy mols. and displayed superior performance over models created from single conformations of the protein. Although the active-site conformations were already predefined by bound ligands, the use of MPS allows us to overcome the cross-docking problem and generate a model that does not simply reproduce the chemical characteristics of a specific ligand class. We show that there is more structural variation between 28 structures in an NMR ensemble than 90 crystal structures bound to a variety of ligands. MPS models from both sources performed well, but the model determined using the NMR ensemble appeared to be the most general yet accurate representation of the active site. This work encourages the use of NMR models in structure-based design.  
IT 945386-48-1 945386-49-2 945386-50-5  
RL: PAC (Pharmacological activity); BIOL (Biological study) (exploring exptl. sources of multiple protein conformations in structure-based drug design)  
RN 945386-48-1 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

L15 ANSWER 1 OF 42 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)

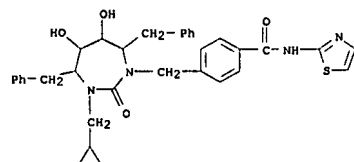


RN 945386-49-2 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 945386-50-5 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

L15 ANSWER 1 OF 42 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)



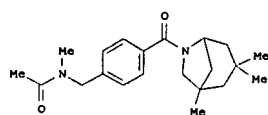
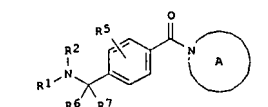
REFERENCE COUNT: 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS  
FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L15 ANSWER 2 OF 42 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2007:512058 CAPLUS  
DOCUMENT NUMBER: 146:481830  
TITLE: Substituted benzamide and 11 $\beta$ -hydroxysteroid dehydrogenase type 1 and their preparation and pharmaceutical use  
INVENTOR(S): Andersen, Henrik Sune; Joergensen, Anker Steen; Kilburn, John Paul; Kampen, Gita Camilla Tejlgaard; Ebdrup, Soeren  
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.  
SOURCE: PCT Int. Appl., 185pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007051810	A2	20070510	WO 2006-EP68015	20061101
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW</p> <p>RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p>				
PRIORITY APPLN. INFO.:			EP 2005-110228	A 20051101
			EP 2006-116808	A 20060707

OTHER SOURCE(S): MARPAT 146:481830  
GI





AB The use of substituted amides of formula I for modulating the activity of 11 $\beta$ -hydroxysteroid dehydrogenase type 1 (11 $\beta$ HSD1) and the use of these compds. as pharmaceutical compns. are described. Also a class of substituted amides of formula I, their use in therapy, pharmaceutical compns. comprising the compds., as well as their use in the manufacture

of medicaments are described. Compound of formula I wherein R1 is H, acyl, (amino)sulfonyl, (amino)sulfinyl, etc.; R2 is H, C1-6 alkyl, and C3-6 cycloalkyl; R1R2 taken together with N to form (un)substituted

(un)saturated 3- to 12-membered (mono/bi)heterocyclic ring; A is (un)substituted (un)saturated 5- to 12-membered (bi/tri)heterocyclic; R5 is H, C1-6 alkyl,

C3-6 cycloalkyl, halo, OH, and CN; R6 and R7 is H, C1-6 alkyl, F, trihalomethyl, and trihalomethoxy; R6R7 taken together to give (un)substituted (un)saturated 3- to 8-membered (hetero)monocyclic; and

their prodrugs, pharmaceutically acceptable acid and base salts, optical isomers, mixts. of optical isomers, racemic mixts., tautomeric forms thereof, are claimed. The compds. are modulators and more specifically inhibitors of the activity of 11 $\beta$ HSD1 and may be useful in the treatment of a range of medical disorders where a decreased intracellular concentration of active glucocorticoid is desirable. Example compound

II was prepared by amidation of 4-(tert-butoxycarbonylaminoethyl)benzoic acid with

1,3,3-trimethyl-6-azabicyclo[3.2.1]octane hydrochloride; the resulting [4-(1,3,3-trimethyl-6-azabicyclo[3.2.1]octane-6-carbonyl)benzyl]carbamic acid tert-Bu ester underwent methylation with Me iodide to give methyl-[4-(1,3,3-trimethyl-6-azabicyclo[3.2.1]octane-6-carbonyl)benzyl]carbamic acid tert-Bu ester, which underwent hydrolysis to

give (4-methylaminomethylphenyl)-(1,3,3-trimethyl-6-azabicyclo[3.2.1]oct-6-yl)methanone, which underwent acetylation with acetyl chloride to give compound II. All the invention compds. were evaluated for their 11 $\beta$ HSD1 inhibitory activity. From the assay, it was determined that compound II exhibited an IC50 value of 19 nM.

IT 936019-73-7P 936019-76-OP

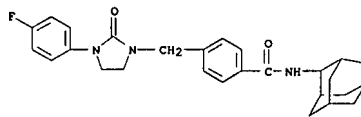
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzamide derivs. as

11 $\beta$ -hydroxysteroid dehydrogenase type 1 inhibitors useful in the treatment of diseases)

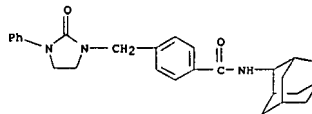
RN 936019-73-7 CAPLUS

CN Benzamide, 4-[(3-(4-fluorophenyl)-2-oxo-1-imidazolidinyl)methyl]-N-tricyclo[3.3.1.1.3,7]dec-2-yl- (CA INDEX NAME)



RN 936019-76-0 CAPLUS

CN Benzamide, 4-[(2-oxo-3-phenyl-1-imidazolidinyl)methyl]-N-tricyclo[3.3.1.1.3,7]dec-2-yl- (CA INDEX NAME)



ACCESSION NUMBER: 2007:382169 CAPLUS

DOCUMENT NUMBER: 147:109049

TITLE: Respiratory syncytial virus fusion inhibitors. Part

4:

Optimization for oral bioavailability. [Erratum to document cited in CA146:287664]

AUTHOR(S): Yu, Kuo-Long; Sin, Ny; Clivello, Rita L.; Wang, X. Alan; Combrink, Keith D.; Gulgeze, H. Belgin; Venables, Brian L.; Wright, J. J. Kim; Dalterio, Richard A.; Zadjura, Lisa; Marino, Anthony; Dando, Sandra; D'Arienzo, Celia; Kadow, Kathleen F.; Cianci, Christopher W.; Li, Zhufang; Clarke, Junius;

Genovesi, Eugene V.; Medina, Ivette; Lamb, Lucinda; Colonna, Richard J.; Yang, Zheng; Krystal, Mark; Meanwell, Nicholas A.

CORPORATE SOURCE: Department of Chemistry, The Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, CT, 06492, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2007),

17(8), 2385

CODEN: BMCLB8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB On page 899, the protecting groups on the chemical structures of compds.

9

and 10 in Scheme 1 are depicted on the wrong nitrogen atoms of the urea element. The correct chemical structures are given.

IT 880550-49-2P

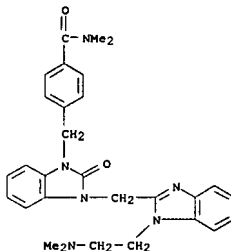
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(benzimidazole-based inhibitors of respiratory syncytial virus fusion (Erratum))

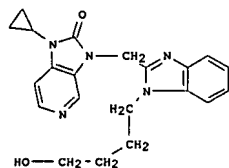
RN 880550-49-2 CAPLUS

CN Benzamide,

4-[(3-[(1-[2-(dimethylamino)ethyl]-1H-benzimidazol-2-yl)methyl]-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)methyl]-N,N-dimethyl- (CA INDEX NAME)



L15 ANSWER 4 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2007:129869 CAPLUS  
 DOCUMENT NUMBER: 146:287664  
 TITLE: Respiratory syncytial virus fusion inhibitors. Part 4:  
 AUTHOR(S): Optimization for oral bioavailability  
 Yu, Kuo-Long; Sin, Ny; Civiello, Rita L.; Wang, X. Alan; Combrink, Keith D.; Gulgeze, H. Belgin; Venables, Brian L.; Wright, J. J. Kim; Dalterio, Richard A.; Zadjura, Lisa; Marino, Anthony; Dando, Sandra; D'Arienzo, Celia; Kadow, Kathleen F.; Cianci, Christopher W.; Li, Zhufang; Clarke, Junius;  
 Genovesi, Eugene V.; Medina, Ivette; Lamb, Lucinda; Colonna, Richard J.; Yang, Zheng; Krystal, Mark; Meanwell, Nicholas A.  
 CORPORATE SOURCE: Department of Chemistry, The Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, CT, 06492, USA  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(4), 895-901  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



I

AB A series of benzimidazole-based inhibitors of respiratory syncytial virus (RSV) fusion were optimized for antiviral potency, membrane permeability and metabolic stability in human liver microsomes. 1-Cyclopropyl-1,3-dihydro-3-[[1-(4-hydroxybutyl)-1H-benzimidazol-2-yl]methyl]-2H-imidazo[4,5-c]pyridin-2-one (I, BMS-433771) was identified as a potent RSV inhibitor demonstrating good bioavailability in the mouse, rat, dog and cynomolgus monkey that demonstrated antiviral activity in the BALB/c and cotton rat models of infection following oral administration.  
 IT 880550-49-2P  
 RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

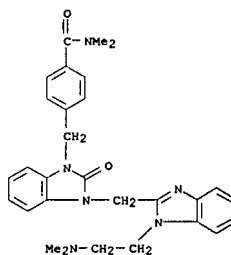
L15 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2007:15632 CAPLUS  
 DOCUMENT NUMBER: 146:267697  
 TITLE: Cloning and expression of canine glucagon receptor and  
 AUTHOR(S): its use to evaluate glucagon receptor antagonists in vitro and in vivo  
 Yang, Xiaodong; Yates, Marla L.; Candelore, Mari R.; Feeney, William; Hore, Don; Kim, Ron M.; Parmee, Emma R.; Berger, Joel P.; Zhang, Bei B.; Qureshi, Sajjad  
 A.  
 CORPORATE SOURCE: Department of Metabolic Disorder-Molecular Endocrinology, Merck Research Laboratories, Rahway, NJ, 07065, USA  
 SOURCE: European Journal of Pharmacology (2007), 555(1), 8-16  
 CODEN: EJPHAZ; ISSN: 0014-2999  
 PUBLISHER: Elsevier B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB Glucose homeostasis is maintained by the combined actions of insulin and glucagon. Hyperglucagonemia and/or elevation of glucagon/insulin ratio have been reported in diabetic patients and in animal models of diabetes. Therefore, antagonizing glucagon receptor function has long been considered a useful approach to lower hyperglycemia. Dogs serve as an excellent model for studying glycemic control and various aspects of glucagon biol. in vivo; however, the amino acid sequence of the dog glucagon receptor has not been reported. To better understand the pharmacol. of the dog glucagon receptor and to characterize glucagon receptor antagonists, we cloned a cDNA corresponding to the glucagon receptor from dog liver RNA. The dog glucagon receptor shares a significant (> 75%) homol. at both nucleotide and amino acid levels with the glucagon receptor from human, monkey, mouse, and rat. The protein is highly conserved among all species in areas corresponding to the 7 trans-membrane domains. However, it shows significant divergence at the carboxy terminus such that the receptor from dog has the longest cytoplasmic tail among all species examined. When expressed in chinese hamster ovary cells, the dog glucagon receptor bound [125I]Glucagon with

Kd of 477 ± 106 pM. Glucagon stimulated the rise of intracellular cAMP levels in these cells with an EC50 of 9.6 ± 1.7 nM and such effects could be blocked by known peptidyl and non-peptidyl small mol. antagonists. In addition we show that a small mol. glucagon receptor antagonist with significant activity in cell based assays also blocked the ability of glucagon to induce elevation in blood glucose in beagle dogs. These data demonstrate that the cloned cDNA encodes a functional dog glucagon receptor. The availability of the dog cDNA will facilitate the understanding of glucagon pharmacol. and aid in the characterization of novel glucagon antagonists that may serve as anti-hyperglycemic treatment for type 2 diabetes mellitus.

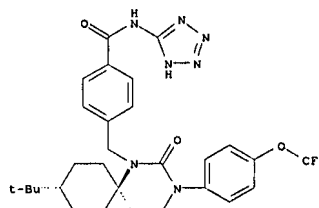
IT 706812-04-6  
 RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (glucagon receptor antagonist; cloning, protein and cDNA sequences and expression of canine glucagon receptor and its use to evaluate glucagon receptor antagonists in vitro and in vivo)  
 RN 706812-04-6 CAPLUS  
 CN Benzamide,  
 4-[[9-[(1,1-dimethylethyl)-2-oxo-3-[4-(trifluoromethoxy)phenyl]-1,3-diazaspiro[5.5]undec-1-yl]methyl]-N-2H-tetrazol-5-yl]- (CA INDEX NAME)

L15 ANSWER 4 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 (Preparation); USES (Uses)  
 (benzimidazole-based inhibitors of respiratory syncytial virus fusion)  
 RN 880550-49-2 CAPLUS  
 CN Benzamide,  
 4-[[3-[[1-[2-(dimethylamino)ethyl]-1H-benzimidazol-2-yl]methyl]-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl]methyl]-N,N-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 Relative stereochemistry.



REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2006:1204441 CAPLUS  
DOCUMENT NUMBER: 145:505484  
TITLE: Preparation of benzo[1,2,4]thiadiazines as histone deacetylase inhibitors for treating inflammation, cancer, and other diseases  
INVENTOR(S): Bressi, Jerome C.; Brown, Jason W.; Gangloff, Anthony R.; Stafford, Jeffrey A.; Vu, Phong H.  
PATENT ASSIGNEE(S): Takeda San Diego, Inc., USA  
SOURCE: PCT Int. Appl., 180pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006122319	A2	20061116	WO 2006-US18645	20060510
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 2006258694	A1	20061116	US 2006-382659	20060510
PRIORITY APPLN. INFO.:			US 2005-679923P	P 20050511

OTHER SOURCE(S): MARPAT 145:505484  
Q1

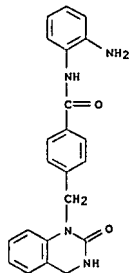
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Comps., pharmaceutical compns., kits and methods are provided for use with HDAC that comprise a compound selected from the group consisting of formula I and formula II, wherein n = 0-4; A1 = (C3-12)cycloalkyl, hetero(C3-12)cycloalkyl, etc.; L = a linker; X = CH2, CS, SO and SO2; Y = oxo, sulfo and R10; R1 and R2 = H, OH, alkoxy, aryloxy, heteroaryloxy, (C1-10)alkylamino, sulfonamido, etc.; R3 = H, OH, alkoxy, aryloxy, heteroaryloxy, sulfinyl, etc.; R4 = H, halo, nitro, cyano, thio, hydroxy, etc.; R5, R6, R7 and R8 = H, halo, nitro, cyano, thio, OH, alkoxy, etc.; R9 = H, OH, alkoxy, aryloxy, heteroaryloxy, carbonyl, amino, (C1-10)alkylamino, etc.; and R10 = H, OH, alkoxy, aryloxy, heteroaryloxy, etc. Preparation of I and II by 1 of 3 reaction schemes is exemplified.

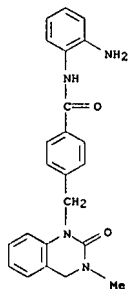
The IC50 values of the compds. of the invention against HDAC2 ranged from <50 nM to >500 nM in vitro. I and II are useful in treating cancer, inflammation, degenerative eye disease, multiple sclerosis, amyotrophic lateral sclerosis, Alzheimer's disease, and hyperproliferation skin diseases.

IT 914261-83-9P, N-(2-Aminophenyl)-4-[(3-methyl-2-oxo-3,4-dihydro-2H-quinazolin-1-yl)methyl]benzamide

L15 ANSWER 6 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L15 ANSWER 6 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(drug candidate: prepn. of benzo[1,2,4]thiadiazines as histone deacetylase inhibitors for treating inflammation, cancer, and other diseases)  
RN 914261-83-9 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[(3,4-dihydro-3-methyl-2-oxo-1(2H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)



IT 914261-77-1P, N-(2-Aminophenyl)-4-[(2-oxo-3,4-dihydroquinazolin-1(2H)-yl)methyl]benzamide  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug candidate: preparation of benzo[1,2,4]thiadiazines as histone deacetylase inhibitors for treating inflammation, cancer, and other diseases)

RN 914261-77-1 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[(3,4-dihydro-2-oxo-1(2H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)

L15 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2006:608746 CAPLUS  
DOCUMENT NUMBER: 145:78748  
TITLE: Histone deacetylase inhibitors for use as antitumor, antiarthritic, and anti-Alzheimer drugs  
INVENTOR(S): Bressi, Jerom C.; Brown, Jason W.; Gangloff, Anthony R.; Jennings, Andrew J.; Kaldor, Stephen W.; Skene, Robert J.; Stafford, Jeffrey A.; Vu, Phong H.  
PATENT ASSIGNEE(S): Takeda San Diego, Inc., USA  
SOURCE: PCT Int. Appl., 257 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006066133	A2	20060622	WO 2005-US45779	20051216
WO 2006066133	A3	20060831		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 2006205941	A1	20060914	US 2005-303455	20051216
EP 1824831	A2	20070829	EP 2005-857114	20051216
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
PRIORITY APPLN. INFO.:			US 2004-636974P	P 20041216
			WO 2005-US45779	W 20051216

OTHER SOURCE(S): MARPAT 145:78748

AB Comps. for use as histone deacetylase inhibitors and their use to treat various diseases, including cancer, inflammation, and arthritis, are disclosed. Thus, a large number of benzimidazole-2-one derivatives are provided.

General procedures for synthesis of these types of compds. are described.

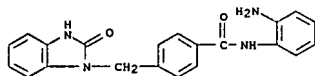
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890783-64-9P 890783-72-9P 890783-88-7P  
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890785-10-1P 890785-17-8P 890785-31-6P  
890785-38-3P 890785-45-2P 890785-80-5P  
890785-87-2P 890786-03-5P 890786-34-2P  
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L15 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

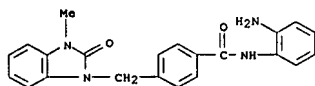
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890791-42-1P 890791-82-9P 890791-98-7P  
890792-14-0P 890792-30-0P 890792-38-8P  
890792-46-8P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(histone deacetylase inhibitors for use as antitumor, antiarthritic, and anti-Alzheimer drugs)

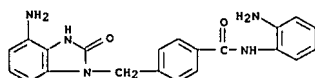
RN 890782-36-2 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



RN 890782-52-2 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[(2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

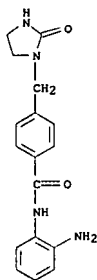


RN 890782-60-2 CAPLUS  
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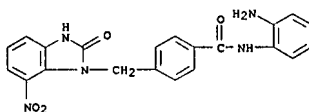


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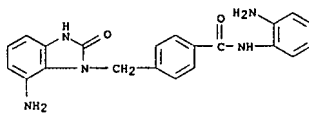
L15 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 890782-84-0 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[(2,3-dihydro-7-nitro-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

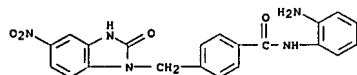


RN 890782-92-0 CAPLUS  
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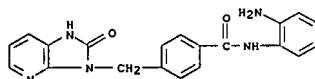


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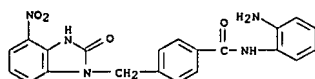
L15 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



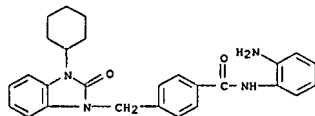
RN 890783-24-1 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[(1,2-dihydro-2-oxo-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)



RN 890783-56-9 CAPLUS  
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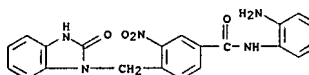


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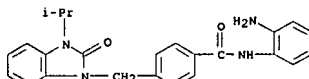


RN 890783-72-9 CAPLUS  
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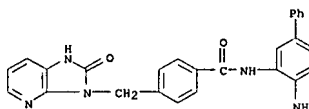
L15 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



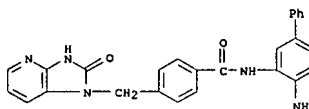
RN 890783-88-7 CAPLUS  
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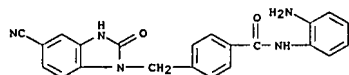
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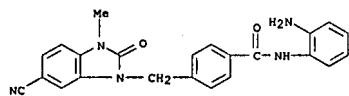
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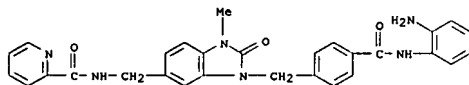
RN 890784-36-8 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[(5-cyano-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



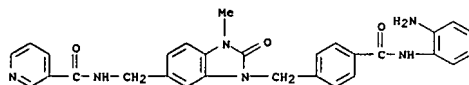
RN 890784-44-8 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[ (6-cyano-2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



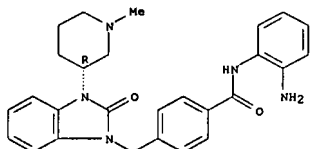
RN 890784-52-8 CAPLUS  
CN 2-Pyridinecarboxamide,  
N-{{3-[[{4-[[2-aminophenyl]amino]carbonyl]phenyl]me  
thyl)-2,3-dihydro-1-methyl-2-oxo-1H-benzimidazol-5-yl]methyl}- (9CI) (CA  
INDEX NAME)



RN 890784-60-8 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3-[[4-[[2-aminophenyl]amino]carbonyl]phenyl]me  
thyl]-2,3-dihydro-1-methyl-2-oxo-1H-benzimidazol-5-yl]methyl]- (9CI) (CA  
INDEX NAME)

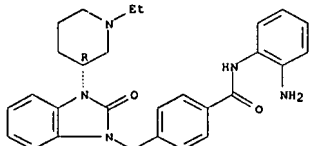


RN 890784-68-6 CAPLUS  
CN 4-Pyridinecarboxamide,  
N-[[3-[[4-[(2-aminophenyl)amino]carbonyl]phenyl]me  
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INDEX NAME)

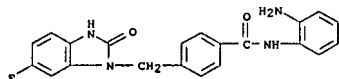


RN 890785-03-2 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-([3-((3R)-1-ethyl-3-piperidinyl)-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

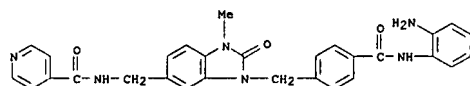
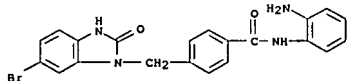
**Absolute stereochemistry.**



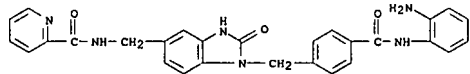
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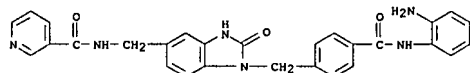
RN 890785-17-8 CAPLUS  
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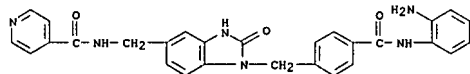
RN 890784-75-5 CAPLUS  
CN 2-Pyridinecarboxamide,  
N-[[1-[[4-[(2-aminophenyl)amino]carbonyl]phenyl]me  
thyl]-2,3-dihydro-2-oxo-1H-benzimidazol-5-yl]methyl]- (9CI) (CA INDEX  
NAME)



RN 890784-82-4 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[1-[[4-[(2-aminophenyl)amino]carbonyl]phenyl]me  
thyl]-2,3-dihydro-2-oxo-1H-benzimidazol-5-yl]methyl]- (9CI) (CA INDEX  
NAME)



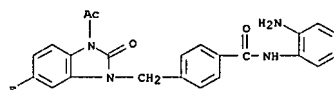
RN 890784-89-1 CAPLUS  
CN 4-Pyridinecarboxamide,  
N-[[1-[[4-[[2-aminophenyl]amino]carbonyl]phenyl]me  
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NAME)



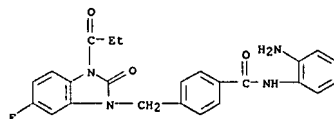
RN 890784-96-0 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-([2,3-dihydro-3-[(3R)-1-methyl-3-piperidiny]-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

**Absolute stereochemistry.**

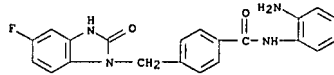
RN 890785-31-6 CAPLUS  
 CN Benzamide, 4-[(3-acetyl-6-fluoro-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)methyl]-N-(2-aminophenyl)- (9CI) (CA INDEX NAME)



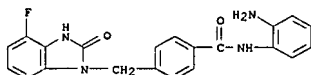
RN 890785-38-3 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[[6-fluoro-2,3-dihydro-2-oxo-3-(1-oxopropyl)-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



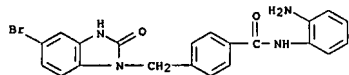
RN 890785-45-2 CAPLUS  
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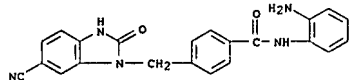
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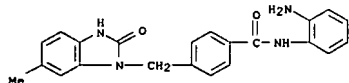
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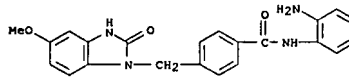
RN 890786-03-5 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[(6-cyano-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



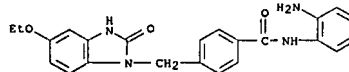
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CN Benzamide, N-(2-aminophenyl)-4-[(2,3-dihydro-6-methyl-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



RN 890786-50-2 CAPLUS  
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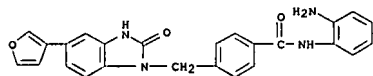


RN 890786-66-0 CAPLUS  
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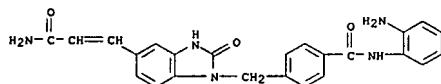


RN 890786-82-0 CAPLUS

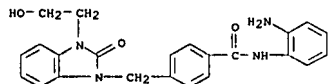
L15 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
CN Benzamide, N-(2-aminophenyl)-4-[[5-(3-furanyl)-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



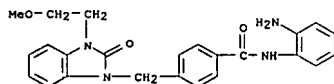
RN 890787-30-1 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[[5-(3-amino-3-oxo-1-propenyl)-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)methyl]-N-(2-aminophenyl)- (9CI) (CA INDEX NAME)



RN 890787-38-9 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[(2,3-dihydro-3-(2-hydroxyethyl)-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

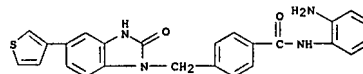


RN 890787-46-9 CAPLUS  
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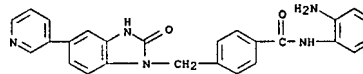


RN 890787-54-9 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[[1,2-dihydro-1-(2-methoxyethyl)-2-oxo-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)

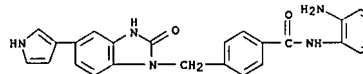
L15 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
CN Benzamide, N-(2-aminophenyl)-4-[[2,3-dihydro-2-oxo-5-(3-thienyl)-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



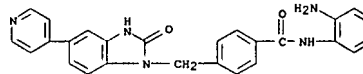
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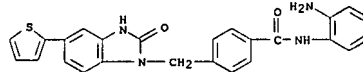
RN 890786-98-8 CAPLUS  
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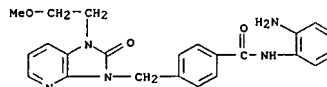
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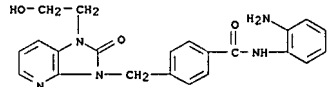
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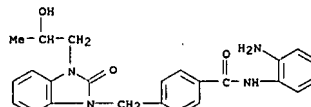
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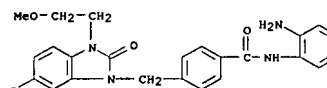
RN 890787-62-9 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[[1,2-dihydro-1-(2-hydroxyethyl)-2-oxo-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)



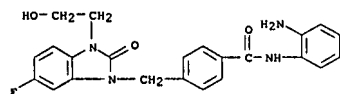
RN 890787-70-9 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[[2,3-dihydro-3-(2-hydroxypropyl)-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



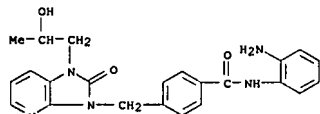
RN 890787-78-7 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[[6-fluoro-2,3-dihydro-3-(2-methoxyethyl)-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



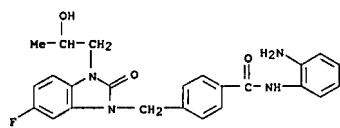
RN 890787-86-7 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[[6-fluoro-2,3-dihydro-3-(2-hydroxyethyl)-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



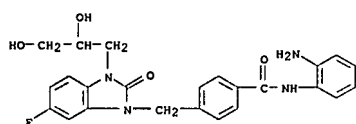
RN 890787-94-7 CAPLUS  
CN Benzamide,  
N-(2-aminophenyl)-4-([1,2-dihydro-1-(2-hydroxypropyl)-2-oxo-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)



RN 890788-02-0 CAPLUS  
CN Benzamide,  
N-(2-aminophenyl)-4-([6-fluoro-2,3-dihydro-3-(2-hydroxypropyl)-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

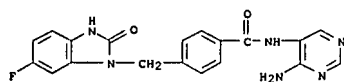


RN 890788-10-0 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-([3-(2,3-dihydroxypropyl)-6-fluoro-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

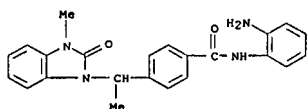


RN 890788-18-8 CAPLUS

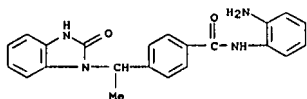
L15 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
CN Benzamide, N-(4-amino-5-pyrimidinyl)-4-([6-fluoro-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



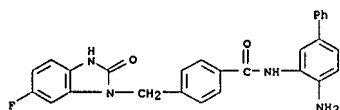
RN 890789-14-7 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-([1-(2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)



RN 890789-30-7 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-([1-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

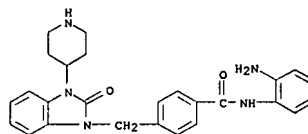


RN 890789-70-5 CAPLUS  
CN Benzamide,  
N-(4-amino[1,1'-biphenyl]-3-yl)-4-([6-fluoro-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

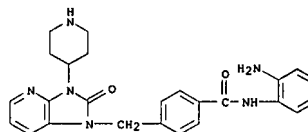


RN 890789-78-3 CAPLUS  
CN Benzamide, 4-([5-(acetylamino)-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)methyl]-N-(2-aminophenyl)- (9CI) (CA INDEX NAME)

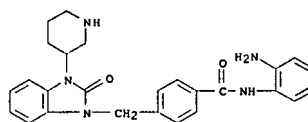
L15 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
CN Benzamide, N-(2-aminophenyl)-4-([2,3-dihydro-2-oxo-3-(4-piperidinyl)-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



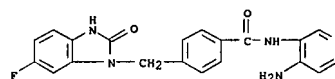
RN 890788-26-8 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-([2,3-dihydro-2-oxo-3-(4-piperidinyl)-1H-imidazo[4,5-b]pyridin-1-yl)methyl]- (9CI) (CA INDEX NAME)



RN 890788-34-8 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-([2,3-dihydro-2-oxo-3-(3-piperidinyl)-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

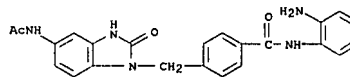


RN 890788-42-8 CAPLUS  
CN Benzamide, N-(4-amino-3-pyridinyl)-4-([6-fluoro-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

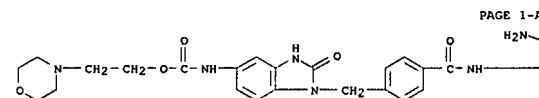


RN 890788-50-8 CAPLUS

L15 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 890789-86-3 CAPLUS  
CN Carbamic acid, 1-([4-([2-aminophenyl]amino)carbonyl]phenyl)methyl)-2,3-dihydro-2-oxo-1H-benzimidazol-5-yl]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)

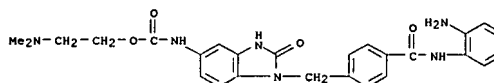


PAGE 1-A

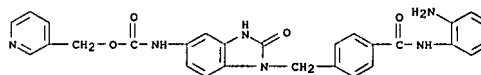
PAGE 1-B



RN 890789-94-3 CAPLUS  
CN Carbamic acid, 1-([4-([2-aminophenyl]amino)carbonyl]phenyl)methyl)-2,3-dihydro-2-oxo-1H-benzimidazol-5-yl]-, 2-(dimethylamino)ethyl ester (9CI) (CA INDEX NAME)

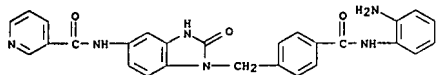


RN 890790-02-0 CAPLUS  
CN Carbamic acid, 1-([4-([2-aminophenyl]amino)carbonyl]phenyl)methyl)-2,3-dihydro-2-oxo-1H-benzimidazol-5-yl]-, 3-pyridinylmethyl ester (9CI) (CA INDEX NAME)

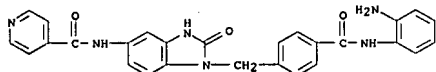


RN 890790-10-0 CAPLUS

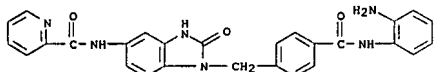
L15 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 CN 3-Pyridinecarboxamide,  
 N-[1-[[4-[[[(2-aminophenyl)amino]carbonyl]phenyl]met  
 hyl]-2,3-dihydro-2-oxo-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



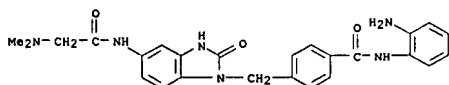
RN 890790-18-8 CAPLUS  
 CN 4-Pyridinecarboxamide,  
 N-[1-[[4-[[[(2-aminophenyl)amino]carbonyl]phenyl]met  
 hyl]-2,3-dihydro-2-oxo-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



RN 890790-26-8 CAPLUS  
 CN 2-Pyridinecarboxamide,  
 N-[1-[[4-[[[(2-aminophenyl)amino]carbonyl]phenyl]met  
 hyl]-2,3-dihydro-2-oxo-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

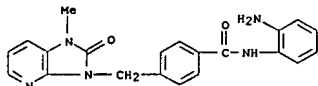


RN 890790-34-8 CAPLUS  
 CN Benzamide, N-(2-aminophenyl)-4-[[5-[[[dimethylamino]acetyl]amino]-2,3-  
 dihydro-2-oxo-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

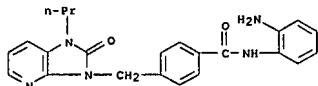


RN 890790-42-8 CAPLUS  
 CN Benzamide, N-(2-aminophenyl)-4-[(2,3-dihydro-2-oxo-1H-thieno[3,4-  
 d]imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

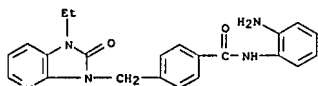
L15 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 bipyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)



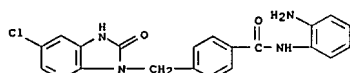
RN 890791-14-7 CAPLUS  
 CN Benzamide,  
 N-(2-aminophenyl)-4-[(1,2-dihydro-2-oxo-1-propyl-3H-imidazo[4,5-  
 b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)



RN 890791-21-6 CAPLUS  
 CN Benzamide,  
 N-(2-aminophenyl)-4-[(1-ethyl-1,2-dihydro-2-oxo-3H-imidazo[4,5-  
 b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)

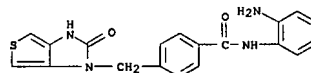


RN 890791-28-3 CAPLUS  
 CN Benzamide,  
 N-(2-aminophenyl)-4-[(6-chloro-1,2-dihydro-2-oxo-3H-imidazo[4,5-  
 b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)

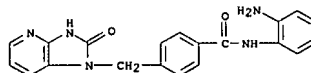


RN 890791-35-2 CAPLUS  
 CN Benzamide, N-(2-aminophenyl)-4-[(1-(cyclopropylmethyl)-1,2-dihydro-2-oxo-  
 3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)

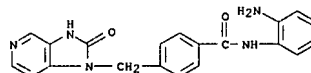
L15 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



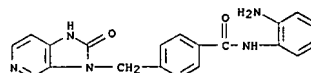
RN 890790-50-8 CAPLUS  
 CN Benzamide, N-(2-aminophenyl)-4-[(2,3-dihydro-2-oxo-1H-imidazo[4,5-  
 b]pyridin-1-yl)methyl]- (9CI) (CA INDEX NAME)



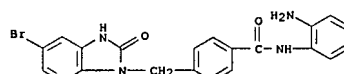
RN 890790-72-4 CAPLUS  
 CN Benzamide, N-(2-aminophenyl)-4-[(2,3-dihydro-2-oxo-1H-imidazo[4,5-  
 c]pyridin-1-yl)methyl]- (9CI) (CA INDEX NAME)



RN 890790-93-9 CAPLUS  
 CN Benzamide, N-(2-aminophenyl)-4-[(1,2-dihydro-2-oxo-3H-imidazo[4,5-  
 c]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)

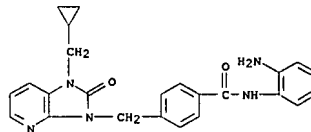


RN 890791-00-1 CAPLUS  
 CN Benzamide,  
 N-(2-aminophenyl)-4-[(6-bromo-1,2-dihydro-2-oxo-3H-imidazo[4,5-  
 b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)

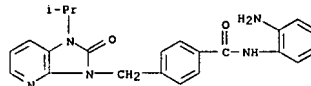


RN 890791-07-8 CAPLUS  
 CN Benzamide,  
 N-(2-aminophenyl)-4-[(1,2-dihydro-1-methyl-2-oxo-3H-imidazo[4,5-  
 b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)

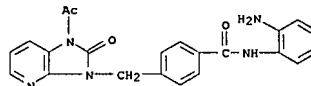
L15 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



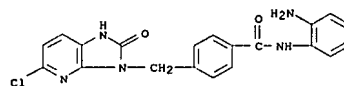
RN 890791-42-1 CAPLUS  
 CN Benzamide, N-(2-aminophenyl)-4-[(1,2-dihydro-1-(1-methylethyl)-2-oxo-3H-  
 imidazo[4,5-b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)



RN 890791-82-9 CAPLUS  
 CN Benzamide, 4-[(1-acetyl-1,2-dihydro-2-oxo-3H-imidazo[4,5-b]pyridin-3-  
 yl)methyl]-N-(2-aminophenyl)- (9CI) (CA INDEX NAME)



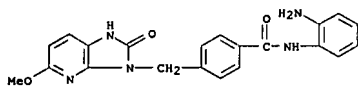
RN 890791-98-7 CAPLUS  
 CN Benzamide,  
 N-(2-aminophenyl)-4-[(5-chloro-1,2-dihydro-2-oxo-3H-imidazo[4,5-  
 b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)



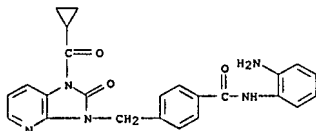
RN 890792-14-0 CAPLUS  
 CN Benzamide, N-(2-aminophenyl)-4-[(1,2-dihydro-5-methoxy-2-oxo-3H-  
 imidazo[4,5-b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)



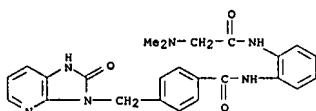




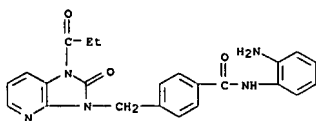
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CN Benzamide,  
N-(2-aminophenyl)-4-[(1-(cyclopropylcarbonyl)-1,2-dihydro-2-oxo-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)



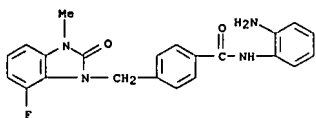
RN 890792-38-8 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[(1,2-dihydro-2-oxo-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-[2-[(dimethylamino)acetyl]amino]phenyl]- (9CI) (CA INDEX NAME)



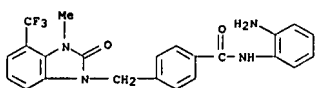
RN 890792-46-8 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[(1,2-dihydro-2-oxo-1-(1-oxopropyl)-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)



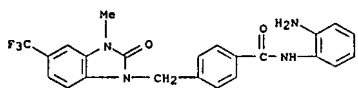
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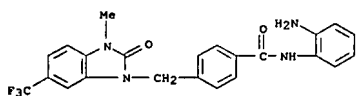
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CN Benzamide, N-(2-aminophenyl)-4-[(2,3-dihydro-3-methyl-2-oxo-4-(trifluoromethyl)-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



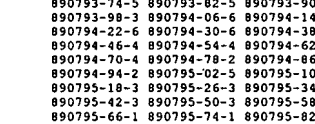
RN 890792-94-6 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[(2,3-dihydro-3-methyl-2-oxo-5-(trifluoromethyl)-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



RN 890793-02-9 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[(2,3-dihydro-3-methyl-2-oxo-6-(trifluoromethyl)-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

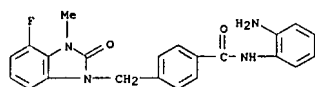


RN 890793-10-9 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[(2,3-dihydro-3-methyl-2-oxo-7-(trifluoromethyl)-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

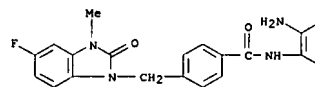


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890794-70-4 890794-78-2 890794-86-2  
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890795-42-3 890795-50-3 890795-58-1  
890795-66-1 890795-74-1 890795-82-1  
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(histone deacetylase inhibitors for use as antitumor, antiarthritic, and anti-Alzheimer drugs)

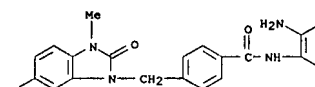
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CN Benzamide, N-(2-aminophenyl)-4-[(4-fluoro-2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



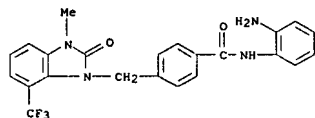
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CN Benzamide, N-(2-aminophenyl)-4-[(5-fluoro-2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



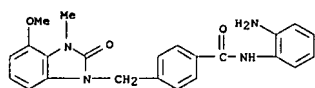
RN 890792-70-8 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[(6-fluoro-2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



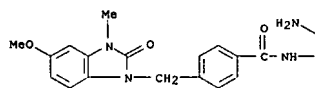
RN 890792-78-6 CAPLUS  
CN Benzamide, N-(2-aminophenyl)-4-[(7-fluoro-2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



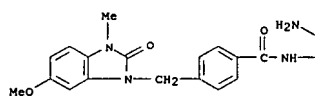
RN 890793-18-7 CAPLUS  
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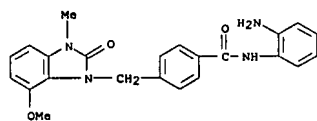
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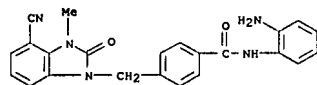
RN 890793-34-7 CAPLUS  
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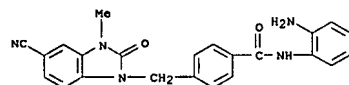
RN 890793-42-7 CAPLUS  
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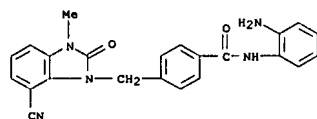
RN 890793-50-7 CAPLUS  
CN Benzamide, N-((2-aminophenyl)-4-((4-cyano-2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME)



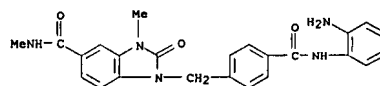
RN 890793-58-5 CAPLUS  
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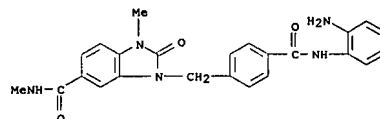
RN 890793-66-5 CAPLUS  
CN Benzamide, N-((2-aminophenyl)-4-((7-cyano-2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME)



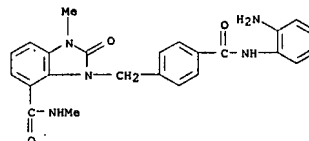
RN 890793-74-5 CAPLUS  
CN 1H-Benzimidazole-5-carboxamide, 1-[[4-[[[(2-aminophenyl)amino]carbonyl]phenyl)methyl]-2,3-dihydro-N,3-dimethyl-2-oxo- (9CI) (CA INDEX NAME)



RN 890793-82-5 CAPLUS  
CN 1H-Benzimidazole-5-carboxamide, 3-[[4-[[[(2-aminophenyl)amino]carbonyl]phenyl)methyl]-2,3-dihydro-N,1-dimethyl-2-oxo- (9CI) (CA INDEX NAME)

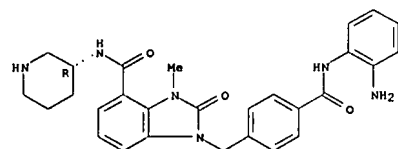


RN 890793-90-5 CAPLUS  
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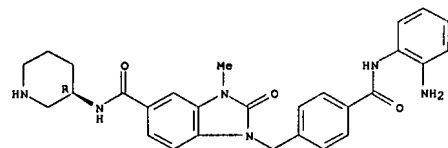
RN 890793-98-3 CAPLUS  
CN 1H-Benzimidazole-4-carboxamide, 1-[[4-[[[(2-aminophenyl)amino]carbonyl]phenyl)methyl]-2,3-dihydro-3-methyl-2-oxo-N-(3R)-3-piperidinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



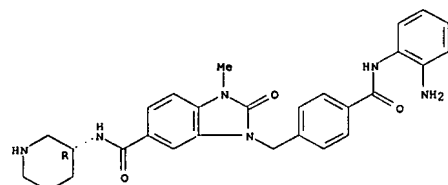
RN 890794-06-6 CAPLUS  
CN 1H-Benzimidazole-5-carboxamide, 1-[[4-[[[(2-aminophenyl)amino]carbonyl]phenyl)methyl]-2,3-dihydro-3-methyl-2-oxo-N-(3R)-3-piperidinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



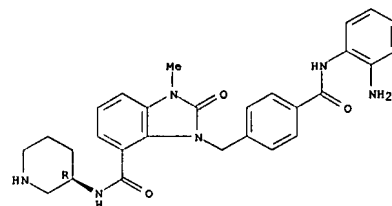
RN 890794-14-6 CAPLUS  
CN 1H-Benzimidazole-5-carboxamide, 3-[[4-[[[(2-aminophenyl)amino]carbonyl]phenyl)methyl]-2,3-dihydro-1-methyl-2-oxo-N-(3R)-3-piperidinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

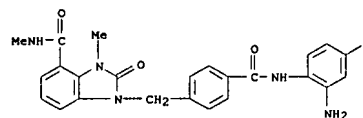


RN 890794-22-6 CAPLUS  
CN 1H-Benzimidazole-4-carboxamide, 3-[[4-[[[(2-aminophenyl)amino]carbonyl]phenyl)methyl]-2,3-dihydro-1-methyl-2-oxo-N-(3R)-3-piperidinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

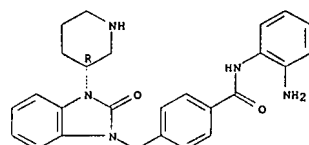


RN 890794-30-6 CAPLUS  
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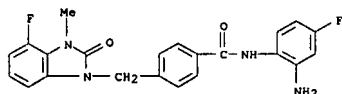
RN 890794-38-4 CAPLUS  
CN Benzamide, N-((2-aminophenyl)-4-[[2,3-dihydro-2-oxo-3-(3R)-3-piperidinyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

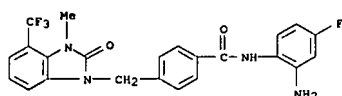


RN 890794-46-4 CAPLUS  
CN Benzamide, N-((2-amino-4-fluorophenyl)-4-[[4-fluoro-2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

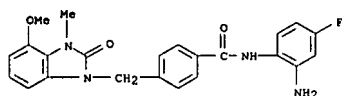
L15 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



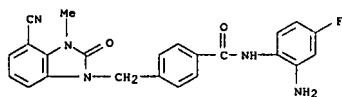
RN 890794-54-4 CAPLUS  
 CN Benzamide, N-(2-amino-4-fluorophenyl)-4-[(2,3-dihydro-3-methyl-2-oxo-4-(trifluoromethyl)-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



RN 890794-62-4 CAPLUS  
 CN Benzamide, N-(2-amino-4-fluorophenyl)-4-[(2,3-dihydro-4-methoxy-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

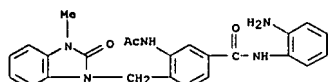


RN 890794-70-4 CAPLUS  
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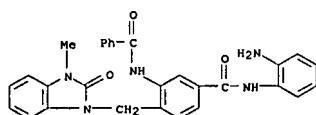


RN 890794-78-2 CAPLUS  
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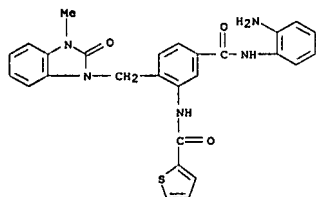
L15 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 RN 890795-18-3 CAPLUS  
 CN Benzamide, 3-(acetylamino)-N-(2-aminophenyl)-4-[(2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



RN 890795-26-3 CAPLUS  
 CN Benzamide, N-(2-aminophenyl)-3-(benzoylamino)-4-[(2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

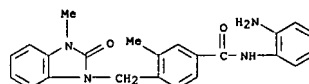


RN 890795-34-3 CAPLUS  
 CN 2-Thiophenecarboxamide, N-[5-[(2-aminophenyl)amino]carbonyl]-2-[(2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl]phenyl]- (9CI) (CA INDEX NAME)

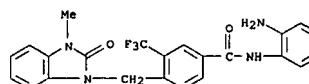


RN 890795-42-3 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[5-[(2-aminophenyl)amino]carbonyl]-2-[(2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl]phenyl]- (9CI) (CA INDEX NAME)

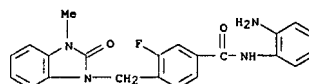
L15 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



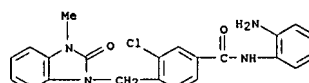
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 CN Benzamide, N-(2-aminophenyl)-4-[(2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



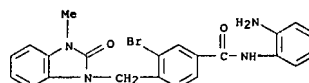
RN 890794-94-2 CAPLUS  
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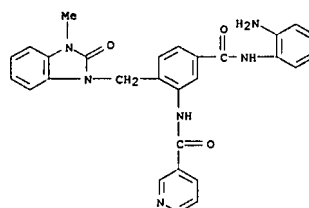
RN 890795-02-5 CAPLUS  
 CN Benzamide, N-(2-aminophenyl)-3-chloro-4-[(2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



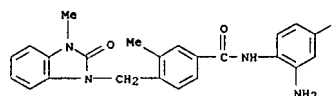
RN 890795-10-5 CAPLUS  
 CN Benzamide, N-(2-aminophenyl)-3-bromo-4-[(2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



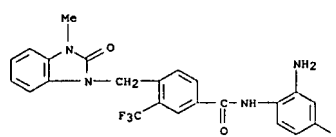
L15 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



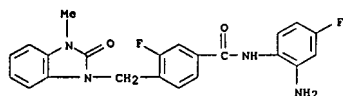
RN 890795-50-3 CAPLUS  
 CN Benzamide, N-(2-amino-4-fluorophenyl)-4-[(2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 890795-58-1 CAPLUS  
 CN Benzamide, N-(2-amino-4-fluorophenyl)-4-[(2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



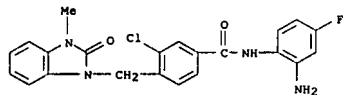
RN 890795-66-1 CAPLUS  
 CN Benzamide, N-(2-amino-4-fluorophenyl)-4-[(2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl]-3-fluoro- (9CI) (CA INDEX NAME)



RN 890795-74-1 CAPLUS

CN Benzamide,

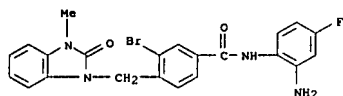
N-(2-amino-4-fluorophenyl)-3-chloro-4-((2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME)



RN 890795-82-1 CAPLUS

CN Benzamide,

N-(2-amino-4-fluorophenyl)-3-bromo-4-((2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME)



ACCESSION NUMBER:

2006:87873 CAPLUS

DOCUMENT NUMBER:

144:331341

TITLE:

Respiratory syncytial virus fusion inhibitors. Part 3:

AUTHOR(S):

Water-soluble benzimidazol-2-one derivatives with antiviral activity in vivo  
Yu, Kuo-Long; Wang, Xiangdong Alan; Civiello, Rita L.;

CORPORATE SOURCE:

Trehan, Ashok K.; Pearce, Bradley C.; Yin, Zhiwei; Combrink, Keith D.; Gulgeze, M. Belgin; Zhang, Yi; Kadow, Kathleen F.; Cianci, Christopher W.; Clarke, Junius; Genovesi, Eugene V.; Medina, Ivette; Lamb, Lucinda; Wyde, Philip R.; Krystal, Mark; Meanwell, Nicholas A.  
Department of Chemistry, The Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, CT, 06492, USA

SOURCE:

Bioorganic & Medicinal Chemistry Letters (2006), 16(5), 1115-1122  
CODEN: BMCL5; ISSN: 0960-894X

PUBLISHER:

Elsevier B.V.

DOCUMENT TYPE:

Journal

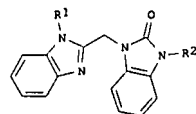
LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 144:331341

GI



AB The introduction of acidic and basic functionality into the side chains of

respiratory syncytial virus (RSV) fusion inhibitors was examined in an effort to identify compds. suitable for evaluation in vivo in the cotton rat model of RSV infection following administration as a small particle aerosol. The acidic compds., e.g. I [R1 = Me2CHCH2CH2; R2 = 4-(HO)2POC6H4CH2, 2-HO3SC6H4CH2], demonstrated potent antiviral activity in cell culture and exhibited efficacy in the cotton rat comparable to ribavirin. In a BALB/c mouse model, the oxadiazolones I [R1 = 2-(5-oxo-1,2,4-oxadiazol-3-yl)ethyl; R2 = Me2CH] reduced virus titers following s.c. dosing, while the ester I [R1 = Me2NCH2CH2; R2 = 4-MeO2CC6H4CH2] and amide I [R1 = Me2NCH2CH2; R2 = 4-Me2NCO2C6H4CH2] exhibited efficacy following oral administration. These results established the potential of this class of RSV fusion inhibitors to interfere with infection in vivo following topical or systemic administration.

IT 406940-62-3P 406944-05-6P 880550-40-3P

880550-49-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(water-soluble 1-(benzimidazolylmethyl)-2-benzimidazolones with antiviral

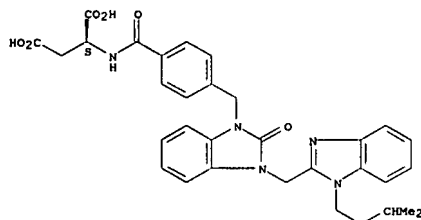
activity in vivo as respiratory syncytial virus fusion inhibitors)

RN 406940-62-3 CAPLUS

CN L-Aspartic acid,

N-[4-[[2,3-dihydro-3-[[1-(3-methylbutyl)-1H-benzimidazol-2-yl]methyl]-2-oxo-1H-benzimidazol-1-yl]methyl]benzoyl]- (9CI) (CA INDEX NAME)

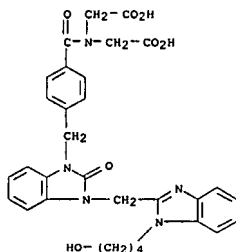
Absolute stereochemistry.



RN 406944-05-6 CAPLUS

CN Glycine,

N-[4-[[2,3-dihydro-3-[[1-(4-hydroxybutyl)-1H-benzimidazol-2-yl]methyl]-2-oxo-1H-benzimidazol-1-yl]methyl]benzoyl]- (9CI) (CA INDEX NAME)

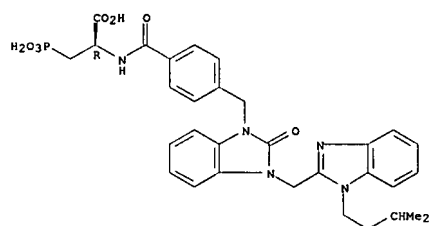


RN 880550-40-3 CAPLUS

CN L-Alanine,

N-[4-[[2,3-dihydro-3-[[1-(3-methylbutyl)-1H-benzimidazol-2-yl]methyl]-2-oxo-1H-benzimidazol-1-yl]methyl]benzoyl]-3-phosphono- (9CI) (CA INDEX NAME)

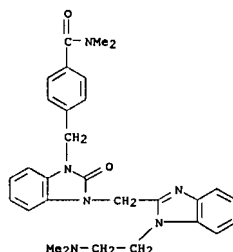
Absolute stereochemistry.



RN 880550-49-2 CAPLUS

CN Benzamide,

4-[[3-[[1-[2-(dimethylamino)ethyl]-1H-benzimidazol-2-yl]methyl]-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl]methyl]-N,N-dimethyl- (CA INDEX NAME)



REFERENCE COUNT:

57

THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L15 ANSWER 9 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2005:1346218 CAPLUS

DOCUMENT NUMBER:

144:88321

TITLE:

Preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase

INVENTOR(S):

Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii; Moradei, Oscar; Leit, Silvana; Raepel, Stephane; Frechette, Sylvie; Bouchain, Gilliane

PATENT ASSIGNEE(S):

Methylgene, Inc., Can.

SOURCE:

U.S. Pat. Appl. Publ., 324 pp., Cont.-in-part of U.S. Ser. No. 358,556.

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

3

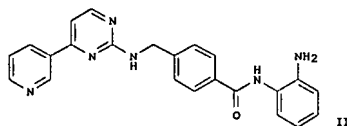
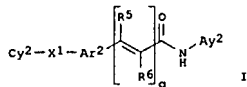
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005288282	A1	20051229	US 2005-91025	20050325
US 2004106599	A1	20040603	US 2002-242304	20020912
US 2004142953	A1	20040722	US 2003-358556	20030204
US 6897220	B2	20050524		
JP 2005255683	A	20050922	JP 2005-80310	20050318
AU 2006252047	A1	20070111	AU 2006-252047	20061214
PRIORITY APPLN. INFO.:			US 2001-322402P	P 20010914
			US 2002-391728P	P 20020626
			US 2002-242304	A2 20020912
			US 2003-358556	A2 20030204
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OTHER SOURCE(S):

MARPAT 144:88321

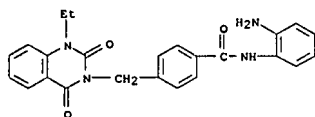
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L15 ANSWER 9 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

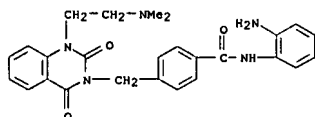
RN 503042-62-4 CAPLUS

CN Benzamide, N-(2-aminophenyl)-4-[(1-ethyl-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)



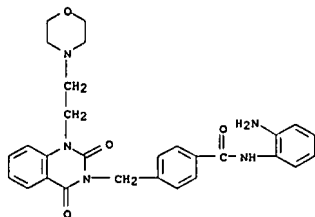
RN 503042-90-8 CAPLUS

CN Benzamide, N-(2-aminophenyl)-4-[(1-[2-(dimethylamino)ethyl]-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)



RN 503042-91-9 CAPLUS

CN Benzamide, N-(2-aminophenyl)-4-[(1,4-dihydro-1-[2-(4-morpholinyl)ethyl]-2,4-dioxo-3(2H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)



RN 503042-94-2 CAPLUS

CN Benzamide, N-(2-aminophenyl)-4-[(6-bromo-1-ethyl-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)



L15 ANSWER 9 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB The invention provides compds. and methods for inhibiting histone deacetylase enzymic activity. Such compds. include carboxamides I [Cy2 = (un)substituted cycloalkyl, aryl, heteroaryl, heterocyclyl (each of which is optionally fused to one or two aryl or heteroaryl rings, or to one or two (un)saturated cycloalkyl or heterocyclic rings); X1 = a bond, M1L2M1, L2M2L2 (wherein L2 = a bond, alkylene, alkenylene, alkynylene; M1 = O, S, SO, NHCO, etc.; M2 = M1, heteroarylene, heterocyclylene); Ar2 = (un)substituted (hetero)arylene; R5, R6 = H, alkyl, aryl, aralkyl; q = 0-1; Ay2 = (un)substituted 5-6 membered cycloalkyl, heterocyclyl or heteroaryl substituted with an amino or hydroxy moiety; with proviso] which were prepared and claimed. E.g., a multi-step synthesis of II, starting from Me 4-(aminomethyl)benzoate.HCl, was given. The invention also provides compns. and methods for treating cell proliferative diseases

and conditions. Antineoplastic effects of some I are illustrated for colorectal, pulmonary and pancreatic neoplasms; also the combined antineoplastic effect of histone deacetylase inhibitors and histone deacetylase antisense oligonucleotides on tumor cells in vivo was demonstrated. Although the methods of preparation are not claimed, hundreds of example preps. are included.

IT 503039-56-3P 503039-58-5P 503042-62-4P

503042-90-8P 503042-91-9P 503042-94-2P

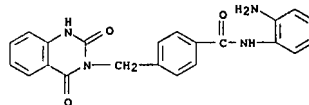
503042-95-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate: preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase for treating cell proliferative disorders)

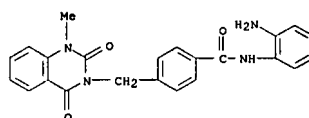
RN 503039-56-3 CAPLUS

CN Benzamide, N-(2-aminophenyl)-4-[(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)

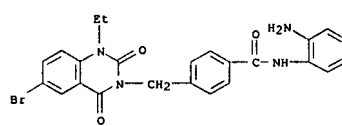


RN 503039-58-5 CAPLUS

CN Benzamide, N-(2-aminophenyl)-4-[(1,4-dihydro-1-methyl-2,4-dioxo-3(2H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)

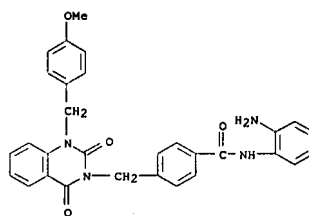


L15 ANSWER 9 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 503042-95-3 CAPLUS

CN Benzamide, N-(2-aminophenyl)-4-[(1,4-dihydro-1-[(4-methoxyphenyl)methyl]-2,4-dioxo-3(2H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 2005:1301833 CAPLUS

DOCUMENT NUMBER: 144:63904

TITLE: QSAR studies of N1-(5-chloro-2-pyridyl)-2-([4-(alkyl methyl)benzoylamino]-5-chlorobenzamide analogs  
 AUTHOR(S): Rameshwar, N.; Krishna, K.; Kumar, B. Ashok; Parthasarathy, T.

CORPORATE SOURCE: Department of Chemistry, Nizam College, Osmania University, Hyderabad, Andhra Pradesh, 500 001, India  
 SOURCE: Bioorganic & Medicinal Chemistry (2006), 14(2), 319-325

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Factor Xa has materialized as a key enzyme for the intervention of blood coagulation cascade and for the development of new antithrombotic agents. It is the enzyme responsible for the production of thrombin and is

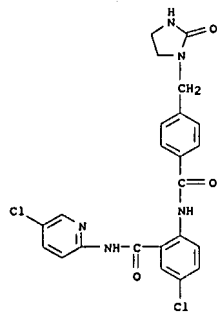
therefore an attractive target for the control of thrombus formation. The biol. activities (log 1/IC50) of anthranilamide-based factor Xa inhibitors were quant. analyzed in terms of physicochem. parameters by the regression anal. Structural requirements for maximal potency were derived from the results of a quant. structure activity relationship anal. The leave-one-out cross-validation method was used to judge the predictive power of final equations.

IT 679427-00-0

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (QSAR studies of chlorobenzamide deriva., factor Xa inhibitors)

RN 679427-00-0 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-([4-[(2-oxo-1-imidazolidinyl)methyl]benzoylamino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS

```
=> s l15 not pd>20021204
      5704281 PD>20021204
              (PD>20021204)
L16          10 L15 NOT PD>20021204

=> d l16 1-10 ibib abs hitstr
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L16 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1999:213401 CAPLUS

DOCUMENT NUMBER: 130:209597  
Correction of: 127:205470

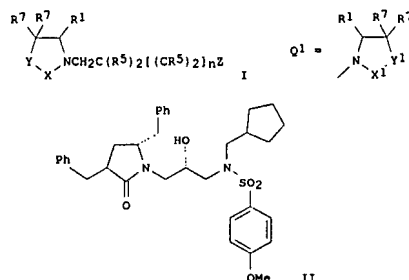
TITLE: Preparation of heterocyclylhydroxyalkanamides and related compounds as HIV protease inhibitors.  
INVENTOR(S): Tung, Roger Dennis; Salituro, Francesco Gerald; Deininger, David D.; Bhisetti, Govinda Rao; Baker, Christopher Todd; Spaltenstein, Andrew; Kazmierski, Wieslaw M.; Andrews, Clarence Webster III  
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
SOURCE: PCT Int. Appl., 336 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9727180	A1	19970731	WO 1997-US1610	19970122
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5883252	A	19990316	US 1996-592777	19960126
US 5945413	A	19990831	US 1996-724563	19960930
AU 9717580	A	19970820	AU 1997-17580	19970122
AU 709239	B2	19990826		
EP 882022	A1	19981209	EP 1997-904911	19970122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9707086	A	19990413	BR 1997-7086	19970122
JP 2000501111	T	20000202	JP 1997-527124	19970122
NO 9803435	A	19980921	NO 1998-3435	19980724
PRIORITY APPLN. INFO.:			US 1996-592777	A 19960126
			US 1996-724563	A2 19960930
			WO 1997-US1610	W 19970122

OTHER SOURCE(S): MARPAT 130:209597  
GI

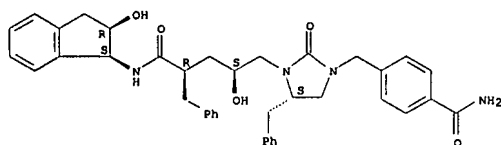
L16 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



AB Title compds. [I; Z = {QR1}R1R4, Q1, etc.; ; X, X1 = CO, CO2, SO, SO2; Y, Y1 = {C(R2)2}p, NR2, C:C(R2)2, NR2CH2, etc.; Q = CH, N; R1, R2 = H, (substituted) alkyl, alkenyl, alkynyl, (fused) cycloalkyl, cycloalkenyl, etc.; R4 = (substituted) OR3, XR9, N(R9)2, R6, alkyl, alkenyl, (fused) cycloalkyl, cycloalkenyl, etc.; R5 = H, OH, O, R1; R6 = (substituted) aryl, carbocyclyl, heterocyclyl; R7 = H, OH, O, R9 = H, alkyl, alkenyl, alkynyl, aryl, carbocyclyl, heterocyclyl, aralkyl, carbocyclylalkyl, heterocyclylalkyl; n = 1, 2; r = 0-2), were prepared Thus, title compound  
(II) (preparation given) inhibited HIV protease with Ki = 1.5 nM.  
IT 194599-61-6P  
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
[preparation of heterocyclylhydroxyalkanamides and related compds. as HIV protease inhibitors]  
RN 194599-61-6 CAPLUS  
CN D-erythro-Pentonamide,  
5-[(5S)-3-[[4-(aminocarbonyl)phenyl]methyl]-2-oxo-5-(phenylmethyl)-1-imidazolidinyl]-2,3,5-trideoxy-N-[(1S,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L16 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:96222 CAPLUS  
DOCUMENT NUMBER: 130:168370  
TITLE: Preparation of hydantoin derivatives as farnesyl transferase inhibitors  
INVENTOR(S): Lee, Jin Ho; Koh, Jong Sung; Kim, Jong Hyun; Lee, Hyun  
Il; Jung, Won Hee; Ro, Seong Gu; Shin, You Seung; Kim,  
Sang Woong; Park, Ki Won; Kwak, Tae Hwan; Moon, Kyung Duk; Chung, Hyun Ho  
PATENT ASSIGNEE(S): LG Chemical Ltd., S. Korea  
SOURCE: PCT Int. Appl., 129 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9905117	A1	19990204	WO 1998-KR225	19980724
W: AU, BR, CH, JP, MX, RU, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9806623	A	19990126	ZA 1998-6623	19980724
AU 9884647	A	19990216	AU 1998-84647	19980724
AU 729341	B2	20010201		
EP 1000036	A1	20000517	EP 1998-935376	19980724
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001510829	T	20010807	JP 2000-504116	19980724
US 6384061	B1	20020507	US 2000-463551	20000330
PRIORITY APPLN. INFO.:			KR 1997-35333	A 19970726
			WO 1998-KR225	W 19980724

OTHER SOURCE(S): MARPAT 130:168370  
GI

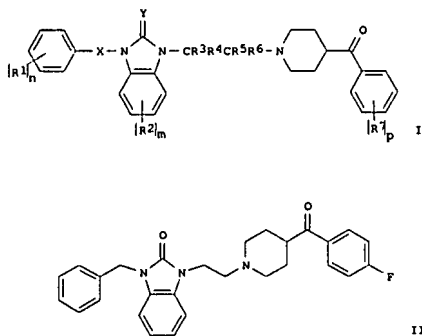
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R1, R2 = H, lower alkyl, (un)substituted monocyclic or bicyclic aryl; heterocyclyl containing N or S as ring members, etc.;  
R3 = amino acid residue, II-V (wherein A = H, lower alkyl, (un)substituted aryl, etc.; B, C = H, halo, lower alkyl; n = 0-4); R4 = H, (un)substituted aryl, bicyclic aryl, etc.), which showed an inhibitory activity against farnesyl transferase, and thus can be used as an anti-cancer agents, were prepared E.g., a 4-step synthesis of compound VI which showed IC50 of 500 nM against Ffase and IC50 of > 10 µM against GGTase, was given.  
IT 220363-51-9P 220363-52-OP  
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)



[illegible]CN1C(=O)N(CCN2C=NC=C2)C(=O)C1Cc1ccc(cc1)C(=O)NCCO

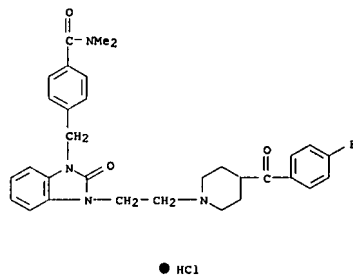
L16 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



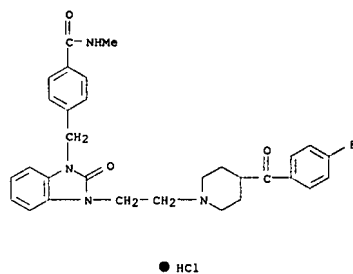
11. HCl.  
Compds. 1 described herein showed Ki of 1-200 nM against serotonin 5-HT1D receptor binding.  
IT 201986-13-2P 201986-14-3P 201986-15-4P  
RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of  
1-(2-(1-piperidinyl)-1-ethyl)-1,3-dihydro-2H-benzimidazoles for treating central nervous system disorders)  
RN 201986-13-2 CAPLUS  
CN Benzamide,  
4-([12-([14-(4-fluorobenzoyl)-1-piperidinyl]ethyl)-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl]methyl)-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 816356	A1	19980107	EP 1997-304485	19970625
EP 816356	B1	20001102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,				
CA 2208652	A1	19971226	CA 1997-2208652	19970623
US 6075039	A	20000613	US 1997-880450	19970624
JP 10059962	A	19980303	JP 1997-166870	19970625
AT 197299	T	20001115	AT 1997-304485	19970625
ES 2151706	T3	20010101	ES 1997-304485	19970625
PT 816356	T	20010430	PT 1997-304485	19970625
GR 3035251	T3	20010430	GR 1991-400071	20010117
PRIORITY APPLN. INFO.:			GB 2006-13423	A 19960626
OTHER SOURCE(S):		MARPAT 128:128017		
GI				

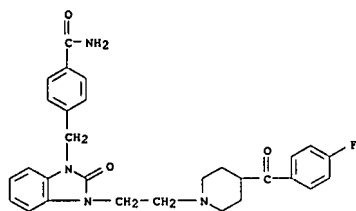
L16 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 201986-14-3 CAPLUS  
CN Benzamide,  
4-[[3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-2,3-dihydro-  
2-oxo-1H-benzimidazol-1-yl]methyl]-N-methyl-, monohydrochloride (9CI)  
(CA  
INDEX NAME)



RN 201986-15-4 CAPLUS  
CN Benzamide,  
4-[[3-[2-{4-(4-fluorobenzoyl)-1-piperidinyl]ethyl}-2,3-dihydro-  
2-oxo-1H-benzimidazol-1-yl]methyl]-, monohydrochloride (9CI) (CA INDEX  
NAME)

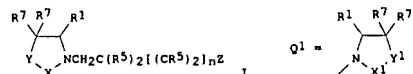


● HCl

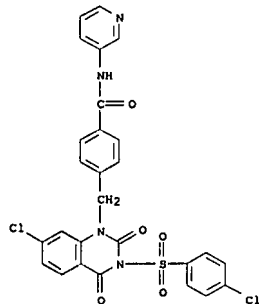
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS  
 FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

ACCESSION NUMBER: 1997:513626 CAPLUS  
 DOCUMENT NUMBER: 127:205470  
 TITLE: Preparation of heterocyclylhydroxyalkanamides and related compounds as HIV protease inhibitors.  
 INVENTOR(S): Tung, Roger Dennis; Salituro, Francesco Gerald; Deininger, David D.; Bhisetti, Govinda Rao; Baker, Christopher Todd; Spaltenstein, Andrew; et al.  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA; Tung, Roger Dennis; Salituro, Francesco Gerald; Deininger, David D.; Bhisetti, Govinda Rao  
 SOURCE: PCT Int. Appl., 336 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9727180 A1		19970731	WO 1997-US1610	19970122
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 1996-592777	19960126
			US 1996-724563	19960930
OTHER SOURCE(S):			MARPAT 127:205470	
GI				

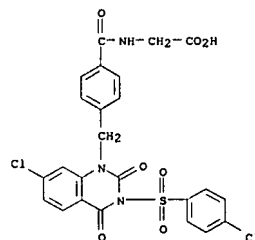


L16 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 pharmacol. acceptable salts thereof are prepd. They are useful as  
 preventives/remedies for cardiac and circulatory diseases (e.g.  
 hypertension or heart failure) caused by abnormal overprod. of  
 angiotensin II. Thus, a quinoxalinedione deriv. (II: R = H) (prepn.  
 given) was condensed with 3-(diethylamino)-1,5-dihydro-2,4,3-  
 benzodioxaphosphine in the presence of tetrazole in DMF, followed by  
 oxidn. with m-chloroperbenzoic acid in CH<sub>2</sub>Cl<sub>2</sub> and hydrogenolysis over 10%  
 Pd-C in dioxane under H atm. to give II (R = P(O)(OH)<sub>2</sub>). II (R = H) and  
 II (R = P(O)(OH)<sub>2</sub>) showed IC<sub>50</sub> of 0.060 and 0.025 μM, resp., for  
 inhibiting human heart chymase. The title compds. I also inhibited  
 cathepsin G and chymotrypsin. Formulation examples contg. I were given.  
 189061-89-0P 189061-91-4P  
 IT RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological  
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of N-phenylsulfonyl- and  
 N-(heterocyclylsulfonyl)quinoxaline  
 derivs. as chymase inhibitors for treating heart or circulatory  
 diseases)  
 RN 189061-89-0 CAPLUS  
 CN Benzamide,  
 4-[[7-chloro-3-[(4-chlorophenyl)sulfonyl]-3,4-dihydro-2,4-dioxo-  
 1(2H)-quinoxaliny]methyl]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

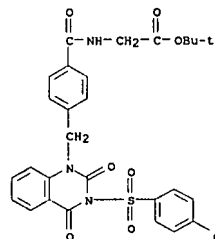


RN 189061-91-4 CAPLUS  
 CN Glycine, N-[4-[[7-chloro-3-[(4-chlorophenyl)sulfonyl]-3,4-dihydro-2,4-  
 dioxo-1(2H)-quinoxaliny]methyl]benzoyl]- (9CI) (CA INDEX NAME)

L16 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 189062-87-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of N-phenylsulfonyl- and  
 N-(heterocyclylsulfonyl)quinoxaline  
 derivs. as chymase inhibitors for treating heart or circulatory  
 diseases)  
 RN 189062-87-1 CAPLUS  
 CN Glycine, N-[4-[[3-[(4-chlorophenyl)sulfonyl]-3,4-dihydro-2,4-dioxo-1(2H)-  
 quinoxaliny]methyl]benzoyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX  
 NAME)



L16 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1997:231458 CAPLUS  
 DOCUMENT NUMBER: 126:301779  
 TITLE: Method of treating human immunodeficiency virus  
 infection using a cyclic protease inhibitor in  
 combination with a reverse transcriptase inhibitor  
 INVENTOR(S): Otto, Michael J.  
 PATENT ASSIGNEE(S): Dupont Merck Pharmaceutical Co., USA  
 SOURCE: U.S., 37 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

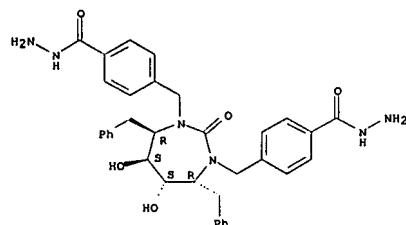
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5616578	A	19970401	US 1993-110603	19930826
PRIORITY APPLN. INFO.:				
			US 1993-110603	19930826

OTHER SOURCE(S): MARPAT 126:301779  
 AB A method of treating human immunodeficiency virus (HIV) infection in a  
 mammal comprises administering a synergistically and therapeutically  
 effective amount of a combination of: (1) ≥1 cyclic HIV protease  
 inhibitor and (2) ≥1 HIV reverse transcriptase inhibitor. More  
 than 200 cyclic compound protease inhibitors are disclosed. The reverse  
 transcriptase inhibitor may be AZT, ddI, ddC, d4T, or 3TC.

IT 153183-56-3 153183-61-0  
 RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological  
 study, unclassified); THU (Therapeutic use); BIOL (Biological study);  
 USES  
 (Uses)  
 (cyclic protease inhibitor synergistic combination with reverse  
 transcriptase inhibitor for treatment of HIV infection)

RN 153183-56-3 CAPLUS  
 CN Benzoic acid,  
 4,4'-[[[tetrahydro-5,6-dihydroxy-2-oxo-4,7-bis(phenylmethyl)-  
 1H-1,3-diazepine-1,3(2H)-diyl]bis(methylene)]bis-, dihydrazide,  
 [4R-(4a,5a,6β,7β)]- (9CI) (CA INDEX NAME)

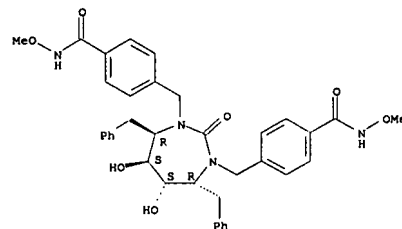
Absolute stereochemistry.



L16 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 153183-61-0 CAPLUS  
 CN Benzamide,  
 4,4'-[[[tetrahydro-5,6-dihydroxy-2-oxo-4,7-bis(phenylmethyl)-1H-  
 1,3-diazepine-1,3(2H)-diyl]bis(methylene)]bis[N-methoxy-,  
 [4R-(4a,5a,6β,7β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

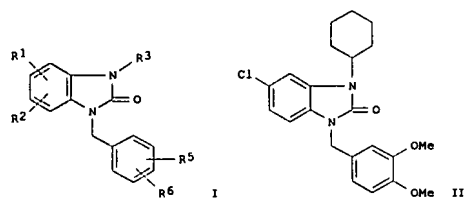


L16 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:259446 CAPLUS  
DOCUMENT NUMBER: 124:289534  
TITLE: 1-Benzyl-1,3-dihydro-2H-benzimidazol-2-one derivatives, their preparation, and pharmaceutical compositions containing them as vasopressin and/or oxytocin receptor ligands.  
INVENTOR(S): Di Malta, Alain; Mettefeu, Daniel; Garcia, Georges; Roux, Richard; Serradeil-Legal, Claudine Sanofi, Fr.  
PATENT ASSIGNEE(S): Eur. Pat. Appl., 49 pp.  
SOURCE: CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

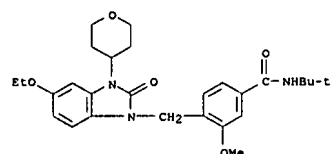
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 694536	A1	19960131	EP 1995-401599	19950704
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
FR 2722190	A1	19960112	FR 1994-8278	19940705
FR 2722190	B1	19961004		
JP 08073439	A	19960319	JP 1995-170048	19950705
US 5661169	A	19970826	US 1995-498542	19950705
PRIORITY APPLN. INFO.:			FR 1994-8278	A 19940705

OTHER SOURCE(S): CASREACT 124:289534; MARPAT 124:289534  
GI

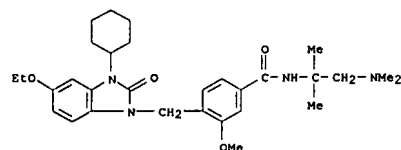


AB Over 50 examples of title compds. I {R1 = halo, alkyl, alkylthio, PhS, CF3, cyano, NO2, (un)substituted amino, OH, alkoxy, etc.; R2 = H, halo, alkyl; R3 = R4, (CH2)pR4, indenyl, adamantyl, (un)substituted cyclohexyl, etc.; R4 = (un)substituted amino, (un)substituted cycloalkyl, furyl, thienyl, pyrrolyl, pyridyl, etc.; R5 = H, alkyl, alkoxy, halo, OH, CF3; R6 = cyano, (un)substituted amino or aminomethyl, aryl, OH, alkoxy, etc.; p = 1-8} were prepared. For example, 2,4-dichloro-1-nitrobenzene underwent a sequence of condensation with cyclohexylamine, reduction of the nitro group,

L16 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



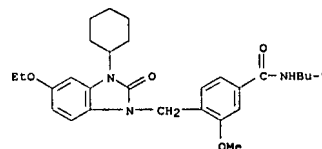
RN 175866-20-3 CAPLUS  
CN Benzamide, 4-[(3-cyclohexyl-5-ethoxy-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)methyl]-N-[(2-(dimethylamino)-1,1-dimethylethyl)-3-methoxy- (9CI) (CA INDEX NAME)]



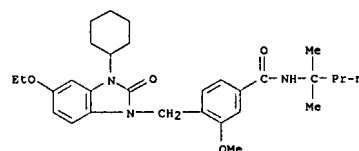
L16 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

and cyclocondensation with urea, to give 5-chloro-3-cyclohexyl-1,3-dihydro-2H-benzimidazol-2-one. This was N-alkylated with 1-(bromomethyl)-3,4-dimethoxybenzene, using NaH in THF, to give title compd. II. In various receptor binding assays, I had IC50 values down to 10-6 M for V1, 10-9 M for V2, and 10-6 M for oxytocin receptors.  
IT 175865-86-8P 175865-87-9P 175865-88-0P 175866-20-3P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of benzylidihydrobenzimidazolone derivs. as vasopressin and/or oxytocin receptor ligands)

RN 175865-86-8 CAPLUS  
CN Benzamide, 4-[(3-cyclohexyl-5-ethoxy-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)methyl]-N-[(1,1-dimethylethyl)-3-methoxy- (9CI) (CA INDEX NAME)]



RN 175865-87-9 CAPLUS  
CN Benzamide, 4-[(3-cyclohexyl-5-ethoxy-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)methyl]-N-[(1,1-dimethylethyl)-3-methoxy- (9CI) (CA INDEX NAME)]



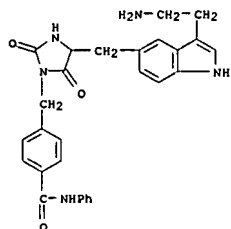
RN 175865-88-0 CAPLUS  
CN Benzamide, N-[(1,1-dimethylethyl)-4-[(5-ethoxy-2,3-dihydro-2-oxo-3-(tetrahydro-2H-pyran-4-yl)-1H-benzimidazol-1-yl)methyl]-3-methoxy- (9CI) (CA INDEX NAME)]

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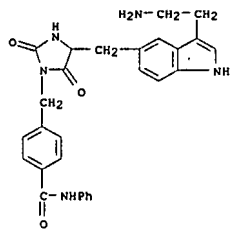
ACCESSION NUMBER: 1995:746699 CAPLUS  
DOCUMENT NUMBER: 123:132007  
TITLE: Computer-Aided Design and Synthesis of 5-Substituted Tryptamines and Their Pharmacology at the 5-HT1D Receptor: Discovery of Compounds with Potential Anti-Migraine Properties  
AUTHOR(S): Buckingham, Janet; Glen, Robert C.; Hill, Alan P.; Hyde, Richard M.; Martin, Graeme R.; Robertson, Alan D.; Salmon, John A.; Woollard, Patrick M.  
CORPORATE SOURCE: Wellcome Research Laboratories, Beckenham/Kent, BR3 3BS, UK  
SOURCE: Journal of Medicinal Chemistry (1995), 38(18), 3566-80  
CODEN: JMCMAR; ISSN: 0022-2623  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The design and synthesis of a series of novel 5-substituted tryptamines with pharmacol. activity at 5-HT1D and other monoamine receptors is described. Structural modifications of N- and C-linked (principally hydantoin) analogs at the 5-position were synthesized and their pharmacol. activities were utilized to deduce significant steric and electrostatic requirements of the 5-HT1D and 5-HT2A receptor subtypes. Conformations of the active mols. were computed which, when overlaid, suggested a pharmacophore hypothesis which was consistent with the affinity and selectivity measured at 5-HT1D and 5-HT2A receptors. This pharmacophore is composed of a protonated amine site, an aromatic site, a hydrophobic pocket, and two hydrogen-bonding sites. A "selectivity site" was also identified which, if occupied, induced selectivity for 5-HT1D over 5-HT2A in this series of mols. The development and use of the pharmacophore models in compound design is described. In addition, the physicochem. constraints of mol. size and hydrophobicity required for efficient oral absorption are discussed. Utilizing the pharmacophore model in conjunction with the physicochem. constraints of mol. size and log DpH7.4 led to the discovery of 311C90 (6), a new selective 5-HT1D agonist with good oral absorption and potential use in the treatment of migraine.

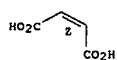
IT 165605-47-0P  
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (design and synthesis and pharmacol. at 5-HT1D receptor of tryptamine deriva.)  
RN 165605-47-0 CAPLUS  
CN Benzamide, 4-[(4-[(3-(2-aminoethyl)-1H-indol-5-yl)methyl]-2,5-dioxo-1-imidazolidinyl)methyl]-N-phenyl- (9CI) (CA INDEX NAME)]



L16 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 (-)-4'-[2-[4-(4-Nitrobenzyl)-2,5-dioximidazolidinyl]ethyl]acetanilide (prepn. given) was added to HCHO in MeOH, NaBH<sub>3</sub>CN and AcOH in MeOH, the mixt. was stirred for 2.5 h, acid. eq. K<sub>2</sub>CO<sub>3</sub> was added to give (-)-1 [X(CH<sub>2</sub>)<sub>n</sub>W(CH<sub>2</sub>)<sub>m</sub> = [2-[5-[1-[2-(4-acetamidophenyl)ethyl]-2,5-dioximidazolidin-4-ylmethyl]; R, R<sub>1</sub>, R<sub>2</sub> = H; R<sub>3</sub>, R<sub>4</sub> = Me]. Similarly prepd. was (1)-2-[5-[1-benzyl-3-methyl-2-oxoimidazolidin-4-ylmethyl]-1H-indol-3-yl]ethylamine maleate (II). In test for activity as agonist of 5-HT<sub>1</sub>-like receptor mediating smooth muscle contraction, II was the most active. Numerous formulations contg. I are presented.  
 IT 123945-55-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, for treatment of migraine)  
 RN 123945-55-1 CAPLUS  
 CN Benzamide, 4-[[[4-[[[3-(2-aminoethyl)-1H-indol-5-yl]methyl]-2,5-dioxo-1-imidazolidinyl]methyl]-N-phenyl]-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 165605-47-0  
 CHF C28 H27 N5 O3



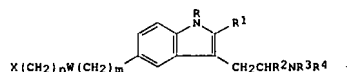
CM 2  
 CRN 110-16-7  
 CHF C4 H4 O4  
 Double bond geometry as shown.



L16 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1989:632814 CAPLUS  
 DOCUMENT NUMBER: 111:232814  
 TITLE: Preparation and formulation of heterocyclic compounds for use as therapeutic agents particularly in treatment of migraine  
 INVENTOR(S): Robertson, Alan Duncan; Martin, Graeme Richard; Buckingham, Janet Susan  
 PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK  
 SOURCE: Eur. Pat. Appl., 37 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 313397	A1	19890426	EP 1988-309943	19881021
EP 313397	B1	19930602		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DK 8805865	A	19890424	DK 1988-5865	19881021
FI 8804879	A	19890424	FI 1988-4879	19881021
JP 01146882	A	19890608	JP 1988-265917	19881021
JP 2501631	B2	19960529		
CN 1035113	A	19890830	CN 1988-108816	19881021
HU 50163	A2	19891228	HU 1988-5431	19881021
HU 202230	B	19910228		
ZA 8807900	A	19900627	ZA 1988-7900	19881021
DD 283140	A5	19901003	DD 1988-320940	19881021
PL 158305	B1	19920831	PL 1988-275423	19881021
AT 90089	T	19930615	AT 1988-309943	19881021
ES 2054825	T3	19940816	ES 1988-309943	19881021
AU 8824181	A	19890427	AU 1988-24181	19881024
AU 604165	B2	19901206		
US 5225431	A	19930706	US 1991-660966	19910226
PRIORITY APPLN. INFO.:			GB 1987-24912	A 19871023
			EP 1988-309943	A 19881021
			US 1988-260865	B1 19881021

GI

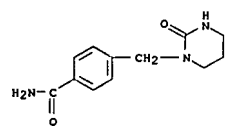


AB Title compds. I (R, R<sub>1</sub>, R<sub>2</sub> = H, Cl-4 alkyl; R<sub>3</sub>, R<sub>4</sub> = H, (un)substituted Cl-6 alkyl, Cl-6 cycloalkyl, (un)substituted Cl-6 aryl, (un)substituted PhCH<sub>2</sub>, provided R<sub>3</sub> = (un)substituted PhCH<sub>2</sub> where R<sub>4</sub> = H; W = heterocycly; X = (un)substituted aryl, heteroaryl, xanthenyl, or dibenzofuranyl; m = 0-2; n = 0-3) salts and solvates thereof, are prepared

L16 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1973:4279 CAPLUS  
 DOCUMENT NUMBER: 78:4279  
 TITLE: Anticonvulsant 1-benzyl-3,4,5,6-tetrahydro-2(1H)-pyrimidinones  
 INVENTOR(S): Schwan, Thomas James; Honkomp, Leroy Joseph; Castellion, Alan William; Burns, Richard Henry  
 PATENT ASSIGNEE(S): Morton-Norwich Products, Inc.  
 SOURCE: Ger. Offen., 20 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2214474	A	19721005	DE 1972-2214474	19720324
IL 39003	A	19750210	IL 1972-39003	19720316
ZA 7201832	A	19731031	ZA 1972-1832	19720317
ES 400964	A1	19750901	ES 1972-400964	19720320
GB 1327552	A	19730822	GB 1972-13248	19720321
DK 129523	B	19741021	DK 1972-1339	19720322
BE 781158	A1	19720925	BE 1972-115497	19720323
NL 7203883	A	19720927	NL 1972-3883	19720323
AT 315184	B	19740510	AT 1972-2541	19720323
CH 568299	A5	19751031	CH 1972-4347	19720323
FR 2130686	A5	19721103	FR 1972-10486	19720324
FR 2130686	B1	19750620		
CA 965416	A1	19750401	CA 1972-138099	19720324
US 3833586	A	19740903	US 1973-330113	19730206
CA 996114	A2	19760831	CA 1974-215150	19741203
PRIORITY APPLN. INFO.:			US 1971-128143	A 19710325
			CA 1972-138099	A3 19720324

GI For diagram(s), see printed CA Issue.  
 AB Nine title compds. (I, R = H, p-MeO<sub>2</sub>C, p-MeO<sub>2</sub>C, p-Me(CH<sub>2</sub>)<sub>7</sub>, m-F<sub>3</sub>C,  
 p-Me, p-H<sub>2</sub>CH<sub>2</sub>, p-Me<sub>2</sub>N; R<sub>1</sub> = H, Ph), used as anticonvulsants and tranquilizers, were prepared. Thus, 2-hydroxypyrimidine-HCl was refluxed with p-BrCH<sub>2</sub>CO<sub>2</sub>Me and K<sub>2</sub>CO<sub>3</sub> in MeOH in the presence of KI to give 341 Me p-[1,2-dihydro-k-oxo-1-pyrimidinyl]methylbenzoate, which was hydrogenated over PtO<sub>2</sub> in MeOH at 8 kg/cm<sup>2</sup> to give 1001 I (R = p-MeO<sub>2</sub>C,  
 R<sub>1</sub> = H).  
 IT 40016-22-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 40016-22-6 CAPLUS  
 CN Benzamide, 4-[[[tetrahydro-2-oxo-1(2H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)



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---Logging off of STN---

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Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	121.51	825.74
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-15.60	-17.16

STN INTERNATIONAL LOGOFF AT 17:58:38 ON 06 SEP 2007

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTAJHM1624

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	MAY 01	New CAS web site launched
NEWS	3	MAY 08	CA/CAPplus Indian patent publication number format defined
NEWS	4	MAY 14	RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS	5	MAY 21	BIOSIS reloaded and enhanced with archival data
NEWS	6	MAY 21	TOXCENTER enhanced with BIOSIS reload
NEWS	7	MAY 21	CA/CAPplus enhanced with additional kind codes for German patents
NEWS	8	MAY 22	CA/CAPplus enhanced with IPC reclassification in Japanese patents
NEWS	9	JUN 27	CA/CAPplus enhanced with pre-1967 CAS Registry Numbers
NEWS	10	JUN 29	STN Viewer now available
NEWS	11	JUN 29	STN Express, Version 8.2, now available
NEWS	12	JUL 02	LEMBASE coverage updated
NEWS	13	JUL 02	LMEDLINE coverage updated
NEWS	14	JUL 02	SCISEARCH enhanced with complete author names
NEWS	15	JUL 02	CHEMCATS accession numbers revised
NEWS	16	JUL 02	CA/CAPplus enhanced with utility model patents from China
NEWS	17	JUL 16	CAPplus enhanced with French and German abstracts
NEWS	18	JUL 18	CA/CAPplus patent coverage enhanced